

chain nodes :

21 22 37 38 39 42 43 44 45 46 47 48 50

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 25 26 27 28 29 30 31 32 33

chain bonds :

2-21 6-38 7-42 8-43 9-44 10-45 11-39 13-22 17-46 18-47 19-48 20-50 33-37

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 14-17 15-16 15-20 17-18 18-19 19-20 25-26 25-30 26-27 27-28 28-29 29-30 29-31 30-32 31-33 32-33

exact/norm bonds :

1-2 1-6 2-3 2-21 3-4 4-5 4-7 5-6 5-10 6-38 7-8 7-42 8-9 8-43 9-10 9-44 10-45 11-12 11-16 11-39 12-13 13-14 13-22 14-15 14-17 15-16 15-20 17-18 17-46 18-19 18-47 19-20 19-48 20-50 29-31 30-32 31-33 32-33 33-37

normalized bonds :

25-26 25-30 26-27 27-28 28-29 29-30

isolated ring systems :

containing 1 : 11 : 25 :

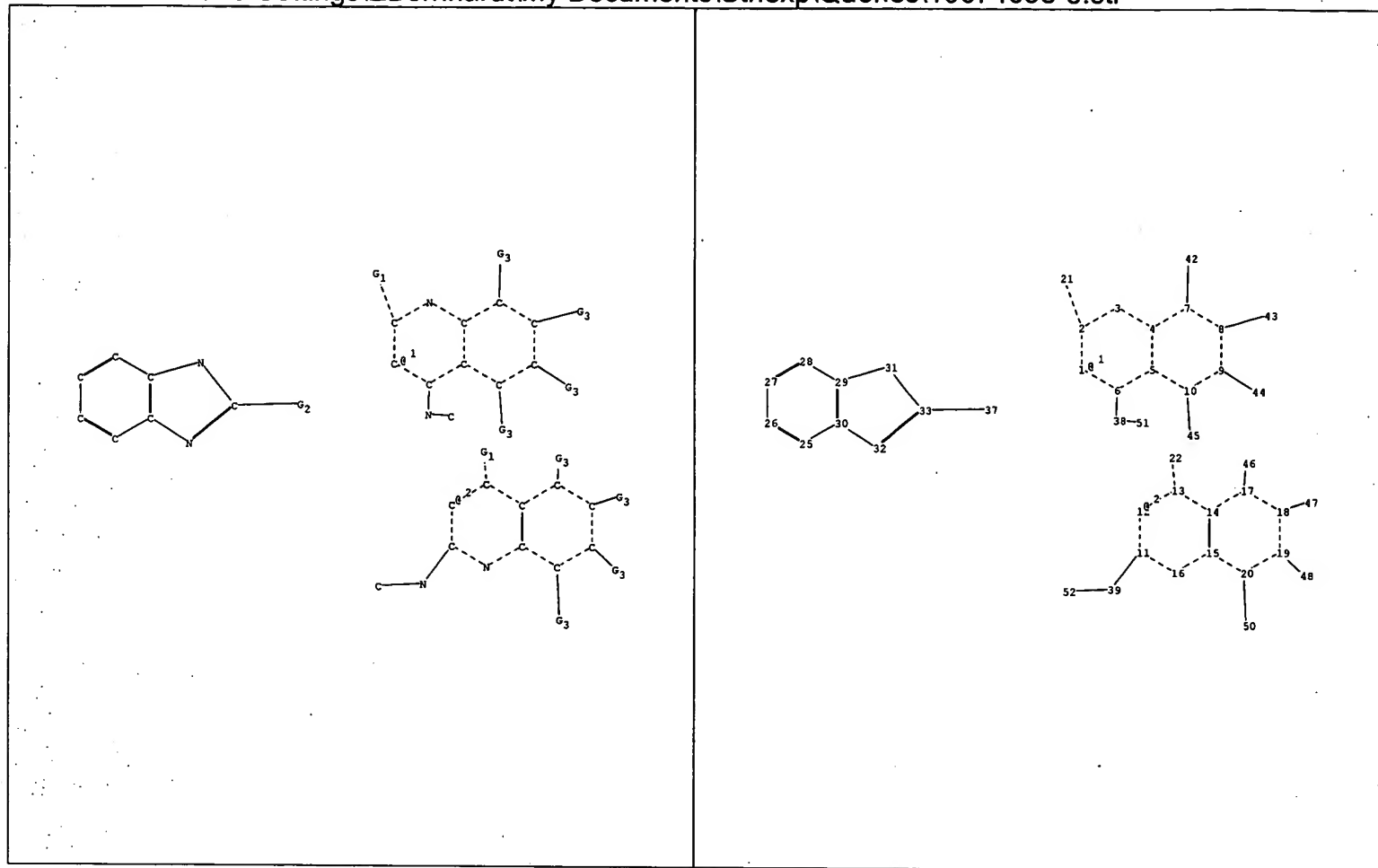
G1:O,S

G2:[\*1],[\*2]

G3:H,CH3

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom  
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS22:CLASS25:Atom  
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 37:CLASS38:CLASS39:CLASS  
42:CLASS43:CLASS44:CLASS45:CLASS46:CLASS47:CLASS48:CLASS50:CLASS



chain nodes :

21 22 37 38 39 42 43 44 45 46 47 48 50 51 52

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 25 26 27 28 29 30 31 32 33

chain bonds :

2-21 6-38 7-42 8-43 9-44 10-45 11-39 13-22 17-46 18-47 19-48 20-50 33-37 38-51 39-52

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14 14-15 14-17 15-16 15-20 17-18 18-19 19-20 25-26 25-30 26-27 27-28 28-29 29-30 29-31 30-32 31-33 32-33

exact/norm bonds :

1-2 1-6 2-3 2-21 3-4 4-5 4-7 5-6 5-10 6-38 7-8 7-42 8-9 8-43 9-10 9-44 10-45 11-12 11-16 11-39 12-13 13-14 13-22 14-15 14-17 15-16 15-20 17-18 17-46 18-19 18-47 19-20 19-48 20-50 29-31 30-32 31-33 32-33 33-37 38-51 39-52

normalized bonds :

25-26 25-30 26-27 27-28 28-29 29-30

isolated ring systems :

containing 1 : 11 : 25 :

G1:O,S

G2:[\*1],[\*2]

G3:H,CH3

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom  
13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:CLASS22:CLASS25:Atom  
26:Atom 27:Atom 28:Atom 29:Atom 30:Atom 31:Atom 32:Atom 33:Atom 37:CLASS38:CLASS39:CLASS  
42:CLASS43:CLASS44:CLASS45:CLASS46:CLASS47:CLASS48:CLASS50:CLASS51:CLASS52:CLASS

10/674098-subset search results

Connecting via Winsock to STN

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LOGINID:sssptaul22ebb

PASSWORD:

\* \* \* \* \* RECONNECTED TO STN INTERNATIONAL \* \* \* \* \*  
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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	113.62	290.43

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CA SUBSCRIBER PRICE	-12.75	-12.75

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	113.62	290.43

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-12.75	-12.75

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STRUCTURE FILE UPDATES: 7 NOV 2006 HIGHEST RN 912617-52-8  
DICTIONARY FILE UPDATES: 7 NOV 2006 HIGHEST RN 912617-52-8

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<http://www.cas.org/ONLINE/UG/regprops.html>

10/674098-subset search results

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L2 50 S L1  
L3 STRUCTURE UPLOADED  
L4 12 S L3  
L5 256 S L3 SSS FULL  
SAVE L5 TEN674098/A

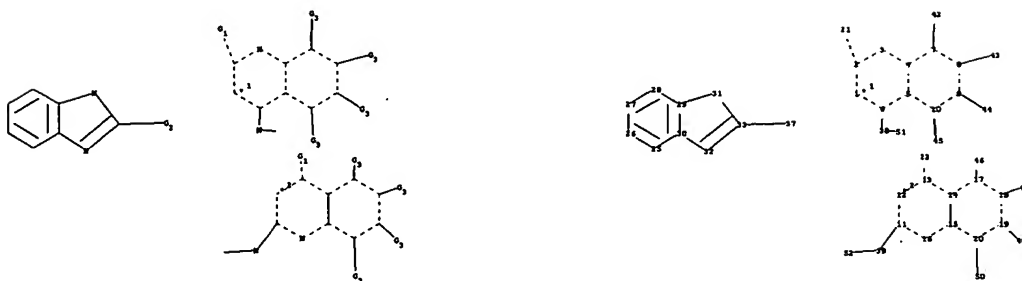
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L6 17 S L5

FILE 'REGISTRY' ENTERED AT 18:07:38 ON 08 NOV 2006

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Documents\Stnexp\Queries\10674098-3.str



chain nodes :

21 22 37 38 39 42 43 44 45 46 47 48 50 51 52

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 25 26 27  
28 29 30 31 32 33

chain bonds :

2-21 6-38 7-42 8-43 9-44 10-45 11-39 13-22 17-46 18-47 19-48 20-50  
33-37 38-51 39-52

10/674098-subset search results

ring bonds :

1-2 1-6 2-3 3-4 4-5 4-7 5-6 5-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14  
14-15 14-17 15-16 15-20 17-18 18-19 19-20 25-26 25-30 26-27 27-28 28-29  
29-30 29-31 30-32 31-33 32-33

exact/norm bonds :

1-2 1-6 2-3 2-21 3-4 4-5 4-7 5-6 5-10 6-38 7-8 7-42 8-9 8-43 9-10  
9-44 10-45 11-12 11-16 11-39 12-13 13-14 13-22 14-15 14-17 15-16 15-20  
17-18 17-46 18-19 18-47 19-20 19-48 20-50 29-31 30-32 31-33 32-33 33-37  
38-51 39-52

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25-26 25-30 26-27 27-28 28-29 29-30

isolated ring systems :

containing 1 : 11 : 25 :

G1:O,S

G2:[\*1],[\*2]

G3:H,CH3

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom  
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom  
20:Atom 21:CLASS 22:CLASS 25:Atom 26:Atom 27:Atom 28:Atom 29:Atom 30:Atom  
31:Atom 32:Atom 33:Atom 37:CLASS 38:CLASS 39:CLASS 42:CLASS 43:CLASS  
44:CLASS 45:CLASS 46:CLASS 47:CLASS 48:CLASS 50:CLASS 51:CLASS 52:CLASS

L7 STRUCTURE UPLOADED

=> s 17 sub=15 full

FULL SUBSET SEARCH INITIATED 18:09:00 FILE 'REGISTRY'

FULL SUBSET SCREEN SEARCH COMPLETED - 77 TO ITERATE

100.0% PROCESSED 77 ITERATIONS  
SEARCH TIME: 00.00.01

40 ANSWERS

L8 40 SEA SUB=L5 SSS FUL L7

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
40.72	331.15

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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FILE LAST UPDATED: 7 Nov 2006 (20061107/ED)

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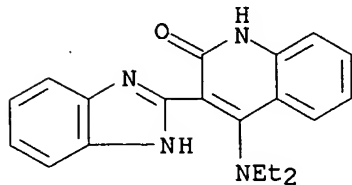
<http://www.cas.org/infopolicy.html>

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L9 10 L8

=> d 19 1-10 bib fhitr

L9 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2006:453877 CAPLUS  
DN 145:124498  
TI 4-(Aminoalkylamino)-3-benzimidazole-quinolinones as potent CHK-1 inhibitors  
AU Ni, Zhi-Jie; Barsanti, Paul; Brammeier, Nathan; Diebes, Anthony; Poon, Daniel J.; Ng, Simon; Pecchi, Sabina; Pfister, Keith; Renhowe, Paul A.; Ramurthy, Savithri; Wagman, Allan S.; Bussiere, Dirksen E.; Le, Vincent; Zhou, Yasheen; Jansen, Johanna M.; Ma, Sylvia; Gesner, Thomas G.  
CS Chiron Corporation, Emeryville, CA, 94608, USA  
SO Bioorganic & Medicinal Chemistry Letters (2006), 16(12), 3121-3124  
CODEN: BMCLE8; ISSN: 0960-894X  
PB Elsevier B.V.  
DT Journal  
LA English  
OS CASREACT 145:124498  
IT 668423-51-6P  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation of 4-(aminoalkylamino)-3-benzimidazolyl-2-quinolinones as potent CHK-1 inhibitors with synergistic effect with a DNA-damaging agent (camptothecin))  
RN 668423-51-6 CAPLUS  
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(diethylamino)- (9CI) (CA INDEX NAME)





## 10/674098-subset search results

RE.CNT 25      THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9    ANSWER 2 OF 10    CAPLUS    COPYRIGHT 2006 ACS on STN  
AN    2005:1242789    CAPLUS  
DN    143:477969  
TI    Preparation of benzimidazole quinolinones for inhibiting FGFR3 and  
treating multiple myeloma  
IN    Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski,  
Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang  
PA    Chiron Corporation, USA  
SO    U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S. Ser. No. 644,055.  
CODEN: USXXCO  
DT    Patent  
LA    English  
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005261307	A1	20051124	US 2004-983174	20041105
	US 2004092535	A1	20040513	US 2003-644055	20030819
	CN 1692112	A	20051102	CN 2003-824565	20030819
	US 2005203101	A1	20050915	US 2004-839793	20040505
PRAI	US 2002-405729P	P	20020823		
	US 2002-426107P	P	20021113		
	US 2002-426226P	P	20021113		
	US 2002-426282P	P	20021113		
	US 2002-428210P	P	20021121		
	US 2003-460327P	P	20030403		
	US 2003-460328P	P	20030403		
	US 2003-460493P	P	20030403		
	US 2003-478916P	P	20030616		
	US 2003-484048P	P	20030701		
	US 2003-644055	A2	20030819		
	US 2003-517915P	P	20031107		
	US 2003-526425P	P	20031202		
	US 2003-526426P	P	20031202		
	US 2004-546017P	P	20040219		

OS    MARPAT 143:477969

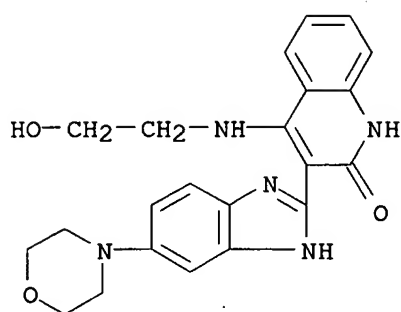
IT    405168-26-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating  
multiple myeloma)

RN    405168-26-5    CAPLUS

CN    2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-  
benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:1223876 CAPLUS  
 DN 143:477966  
 TI Preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer  
 IN Gesner, Thomas G.; Barsanti, Paul A.; Harrison, Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou, Yasheen; Le, Vincent P.  
 PA Chiron Corporation, USA  
 SO U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S. Ser. No. 644,055. CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005256157	A1	20051117	US 2005-41191	20050121
	US 2004092535	A1	20040513	US 2003-644055	20030819
	CN 1692112	A	20051102	CN 2003-824565	20030819
	US 2005203101	A1	20050915	US 2004-839793	20040505
PRAI	US 2002-405729P	P	20020823		
	US 2002-426107P	P	20021113		
	US 2002-426226P	P	20021113		
	US 2002-426282P	P	20021113		
	US 2002-428210P	P	20021121		
	US 2003-460327P	P	20030403		
	US 2003-460328P	P	20030403		
	US 2003-460493P	P	20030403		
	US 2003-478916P	P	20030616		
	US 2003-484048P	P	20030701		
	US 2003-644055	A2	20030819		
	US 2004-538984P	P	20040123		

OS MARPAT 143:477966

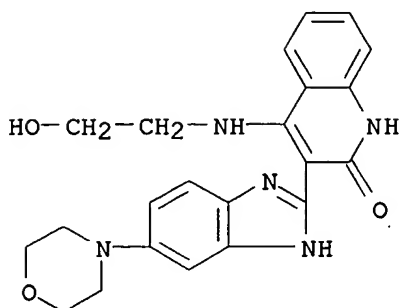
IT 405168-26-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

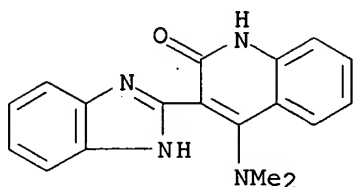
RN 405168-26-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:976928 CAPLUS  
 DN 143:279443  
 TI 4-Amino-3-(benzimidazol-2-yl)quinolin-2-one derivatives for the modulation of inflammatory and metastatic processes  
 IN Lee, Sang H.; Heise, Carla C.  
 PA Chiron Corporation, USA  
 SO PCT Int. Appl., 145 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005082340	A2	20050909	WO 2005-US5316	20050218
	WO 2005082340	A3	20060504		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2005216904	A1	20050909	AU 2005-216904	20050218
	CA 2556872	AA	20050909	CA 2005-2556872	20050218
	US 2005239825	A1	20051027	US 2005-61386	20050218
PRAI	US 2004-546395P	P	20040220		
	US 2004-547103P	P	20040223		
	US 2004-554771P	P	20040319		
	WO 2005-US5316	W	20050218		
OS	MARPAT 143:279443				
IT	405170-04-9				
	RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)				
	(benzimidazolyl aminoquinolinone derivs. for modulation of inflammatory and metastatic processes)				
RN	405170-04-9 CAPLUS				
CN	2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(dimethylamino)- (9CI) (CA INDEX NAME)				



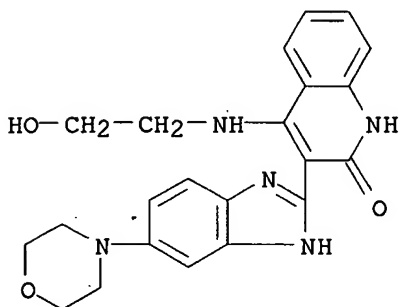
L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2005:451351 CAPLUS  
 DN 143:7710  
 TI Preparation of benzimidazole quinolinones for inhibiting FGFR3 and  
 treating multiple myeloma  
 IN Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski,  
 Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang  
 PA Chiron Corporation, USA  
 SO PCT Int. Appl., 567 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2005047244	A2	20050526	WO 2004-US36956	20041105
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2004289672	A1	20050526	AU 2004-289672	20041105
	CA 2544186	AA	20050526	CA 2004-2544186	20041105
	US 2005137399	A1	20050623	US 2004-982757	20041105
	US 2005209247	A1	20050922	US 2004-982543	20041105
	EP 1692085	A2	20060823	EP 2004-810419	20041105
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PRAI	US 2003-517915P	P	20031107		
	US 2003-526425P	P	20031202		
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	US 2004-546017P	P	20040219		
	WO 2004-US36956	W	20041105		
OS	MARPAT 143:7710				
IT	405168-26-5P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)				

## 10/674098-subset search results

RN 405168-26-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:451118 CAPLUS

DN 143:7709

TI Preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial growth factor receptor tyrosine kinase

IN Cai, Shaopei; Chou, Joyce; Harwood, Eric; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Zhu, Shuguang

PA Chiron Corporation, USA

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

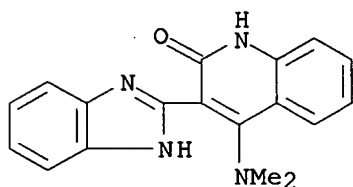
DT Patent

LA English

FAN.CNT 7

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	RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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	CA 2544492	AA	20050526	CA 2004-2544492	20041105
	US 2005137399	A1	20050623	US 2004-982757	20041105
	US 2005209247	A1	20050922	US 2004-982543	20041105
	EP 1699421	A2	20060913	EP 2004-816941	20041105
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PRAI	US 2003-517915P	P	20031107		
	US 2003-526425P	P	20031202		
	US 2003-526426P	P	20031202		
	US 2004-546017P	P	20040219		

WO 2004-US36941 W 20041105  
 OS CASREACT 143:7709; MARPAT 143:7709  
 IT 405170-04-9P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial growth factor receptor tyrosine kinase)  
 RN 405170-04-9 CAPLUS  
 CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(dimethylamino)- (9CI) (CA INDEX NAME)



L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2004:310953 CAPLUS  
 DN 140:321363  
 TI Preparation of [(piperazinyl)benzimidazolyl]quinolinones and analogs as tyrosine kinase inhibitors for treatment of cancer  
 IN Velaparthi, Upender; Wittman, Mark D.  
 PA Bristol-Myers Squibb Company, USA  
 SO PCT Int. Appl., 62 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004030620	A2	20040415	WO 2003-US30669	20030929
	WO 2004030620	A3	20040610		
	W:				
	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW:				
	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003275282	A1	20040423	AU 2003-275282	20030929
	US 2004092514	A1	20040513	US 2003-674098	20030929
	EP 1545529	A2	20050629	EP 2003-759558	20030929
	R:				
	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-415066P	P	20020930		
	WO 2003-US30669	W	20030929		
OS	MARPAT 140:321363				
IT	677341-90-1P, 4-[[ (S)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]-3-				

[4-methyl-6-(piperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one

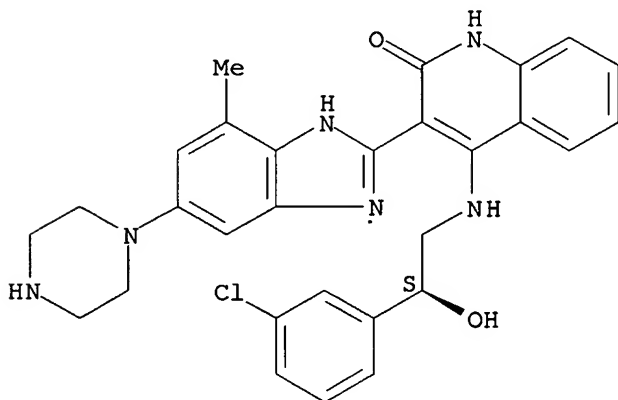
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(tyrosine kinase inhibitor; preparation of [(piperazinyl)benzimidazolyl]quinolinones and analogs as tyrosine kinase inhibitors for treatment of cancer)

RN 677341-90-1 CAPLUS

CN 2(1H)-Quinolinone, 4-[[ (2S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-[4-methyl-6-(1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:182836 CAPLUS

DN 140:235711

TI Preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase

IN Barsanti, Paul A.; Bussiere, Dirksen; Harrison, Stephen D.; Heise, Carla C.; Jansen, Johanna M.; Jazan, Elisa; Machajewski, Timothy D.; McBride, Christopher; McCrea, William R.; Ng, Simon; Ni, Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy, Savithri; Renhowe, Paul A.; Shafer, Cynthia M.; Silver, Joel B.; Wagman, Allan; Weismann, Marion

PA Chiron Corporation, USA

SO PCT Int. Appl., 570 pp.  
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004018419	A2	20040304	WO 2003-US25990	20030819
	WO 2004018419	A3	20040603		
	WO 2004018419	B1	20040729		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,				

10/674098-subset search results

KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,  
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2496164	AA	20040304	CA 2003-2496164	20030819
AU 2003288899	A1	20040311	AU 2003-288899	20030819
EP 1539754	A2	20050615	EP 2003-781286	20030819

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,  
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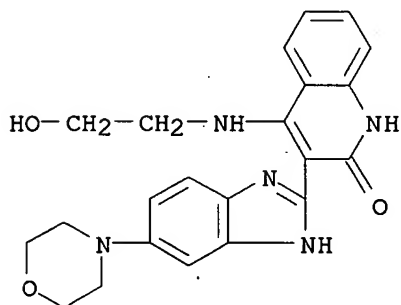
BR 2003013743	A	20050705	BR 2003-13743	20030819
CN 1692112	A	20051102	CN 2003-824565	20030819
JP 2006503919	T2	20060202	JP 2005-501762	20030819

PRAI US 2002-405729P P 20020823  
 US 2002-426107P P 20021113  
 US 2002-426226P P 20021113  
 US 2002-426282P P 20021113  
 US 2002-428210P P 20021121  
 US 2003-460327P P 20030403  
 US 2003-460328P P 20030403  
 US 2003-460493P P 20030403  
 US 2003-478916P P 20030616  
 US 2003-484048P P 20030701  
 WO 2003-US25990 W 20030819

OS MARPAT 140:235711  
 IT 405168-26-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)  
 (preparation of benzimidazole quinolinones for inhibiting a serine/threonine  
 kinase)

RN 405168-26-5 CAPLUS  
 CN 2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-  
 benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:98039 CAPLUS  
 DN 138:153534  
 TI Preparation of benzimidazolyl-substituted quinolinone derivatives and  
 analogs, with inhibitory action against vascular endothelial growth factor  
 receptor tyrosine kinase, and useful as anticancer agents  
 IN Renhowe, Paul A.; Pecchi, Sabina; Machajewski, Timothy D.; Shafer, Cynthia  
 M.; Taylor, Clarke; McCrea, William R.; McBride, Christopher; Jazan, Elisa  
 PA Chiron Corporation, USA  
 SO U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S. Pat. Appl. 2002



## 10/674098-subset search results

107,392.

CODEN: USXXCO

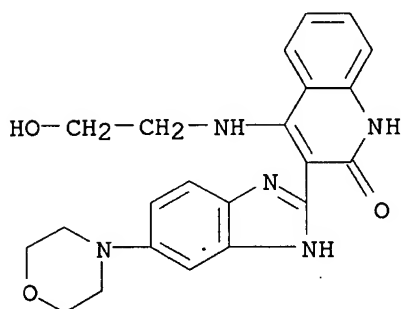
DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003028018	A1	20030206	US 2002-116117	20020405
	US 2002107392	A1	20020808	US 2001-951265	20010911
	US 6605617	B2	20030812		
	EP 1650203	A1	20060426	EP 2005-17665	20010911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003158224	A1	20030821	US 2002-284017	20021030
	US 6774237	B2	20040810		
	US 2004006101	A1	20040108	US 2003-387355	20030312
	US 6762194	B2	20040713		
	CA 2481055	AA	20031023	CA 2003-2481055	20030404
	WO 2003087095	A1	20031023	WO 2003-US10463	20030404
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU	2003226275	A1	20031027	AU 2003-226275	20030404
EP	1497287	A1	20050119	EP 2003-746614	20030404
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR	2003008996	A	20050222	BR 2003-8996	20030404
CN	1659165	A	20050824	CN 2003-812909	20030404
JP	2005527587	T2	20050915	JP 2003-584051	20030404
US	2004097545	A1	20040520	US 2003-613411	20030703
US	6800760	B2	20041005		
US	2005054672	A1	20050310	US 2004-886950	20040708
NO	2004004776	A	20041207	NO 2004-4776	20041103
US	2005209456	A1	20050922	US 2005-92137	20050329
PRAI	US 2000-232159P	P	20000911		
	US 2001-951265	A2	20010911		
	EP 2001-973722	A3	20010911		
	US 2002-116117	A	20020405		
	US 2002-284017	A1	20021030		
	WO 2003-US10463	W	20030404		
	US 2004-886950	A1	20040708		
OS	MARPAT 138:153534				
IT	405168-26-5P				
	RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)				
	(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)				
RN	405168-26-5 CAPLUS				
CN	2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-				

benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



L9 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2002:220574 CAPLUS

DN 136:263158

TI Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents.

IN Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex

PA Chiron Corporation, USA

SO PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DT Patent

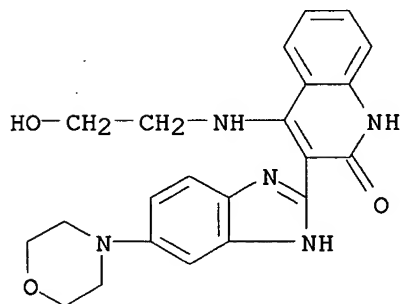
LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002022598	A1	20020321	WO 2001-US42131	20010911
	WO 2002022598	C1	20021121		
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	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2421120	AA	20020321	CA 2001-2421120	20010911
	AU 2001093275	A5	20020326	AU 2001-93275	20010911
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	EP 1317442	B1	20051116		
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	BR 2001013757	A	20040302	BR 2001-13757	20010911
	JP 2004509112	T2	20040325	JP 2002-526851	20010911
	NZ 524717	A	20040924	NZ 2001-524717	20010911
	AT 309996	E	20051215	AT 2001-973722	20010911
	ES 2250480	T3	20060416	ES 2001-1973722	20010911
	EP 1650203	A1	20060426	EP 2005-17665	20010911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

10/674098-subset search results

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ZA 2003001578	A	20040826
NO 2003001097	A	20030325
US 2004006101	A1	20040108
US 6762194	B2	20040713
BG 107709	A	20040130
HK 1053644	A1	20060504
US 2005054672	A1	20050310
US 2005209456	A1	20050922
AU 2005202068	A1	20050602
PRAI US 2000-232159P	P	20000911
AU 2001-293275	A3	20010911
EP 2001-973722	A3	20010911
US 2001-951265	A1	20010911
WO 2001-US42131	W	20010911
US 2002-284017	A1	20021030
US 2004-886950	A1	20040708
OS MARPAT 136:263158		
IT 405168-26-5P		
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)		
(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)		
RN 405168-26-5	CAPLUS	
CN	2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)	



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d 19 2-10 bib abs hitstr

L9 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
AN 2005:1242789 CAPLUS  
DN 143:477969  
TI Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma  
IN Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang  
PA Chiron Corporation; USA  
SO U.S. Pat. Appl. Publ., 239 pp., Cont.-in-part of U.S. Ser. No. 644,055.

## 10/674098-subset search results

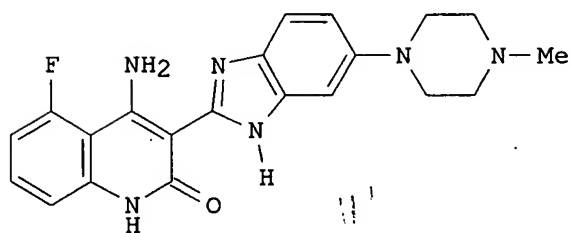
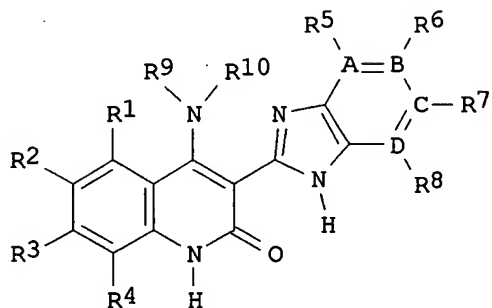
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2005261307	A1	20051124	US 2004-983174	20041105
	US 2004092535	A1	20040513	US 2003-644055	20030819
	CN 1692112	A	20051102	CN 2003-824565	20030819
	US 2005203101	A1	20050915	US 2004-839793	20040505
PRAI	US 2002-405729P	P	20020823		
	US 2002-426107P	P	20021113		
	US 2002-426226P	P	20021113		
	US 2002-426282P	P	20021113		
	US 2002-428210P	P	20021121		
	US 2003-460327P	P	20030403		
	US 2003-460328P	P	20030403		
	US 2003-460493P	P	20030403		
	US 2003-478916P	P	20030616		
	US 2003-484048P	P	20030701		
	US 2003-644055	A2	20030819		
	US 2003-517915P	P	20031107		
	US 2003-526425P	P	20031202		
	US 2003-526426P	P	20031202		
	US 2004-546017P	P	20040219		
OS	MARPAT 143:477969				
GI					



AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2, etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H,

(un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting fibroblast growth factor receptor 3 or treating a biol. condition mediated by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 $\epsilon$ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR $\alpha$ , and PDGFR $\beta$ . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR $\alpha$ , and PDGFR $\beta$  with IC50 values of less than 1  $\mu$ M. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibited FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

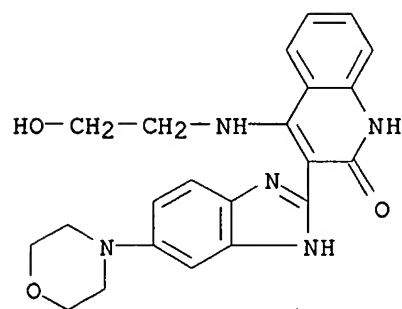
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 405168-47-0P 405170-04-9P 405170-84-5P  
 405170-85-6P 405170-86-7P 405170-87-8P  
 668422-85-3P 668423-39-0P 668423-40-3P  
 668423-43-6P 668423-44-7P 668423-47-0P  
 668423-51-6P 668423-52-7P 668423-81-2P  
 668424-44-0P 668424-49-5P 668424-52-0P  
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

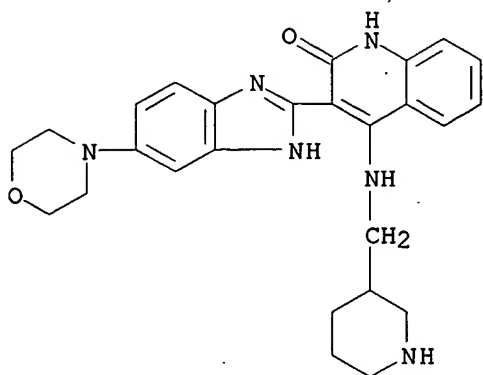
RN 405168-26-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



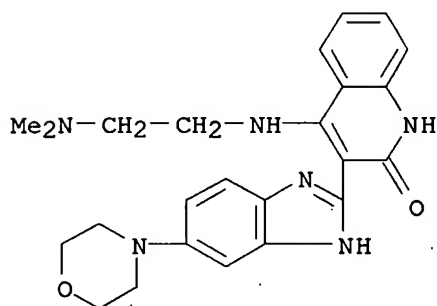
RN 405168-29-8 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



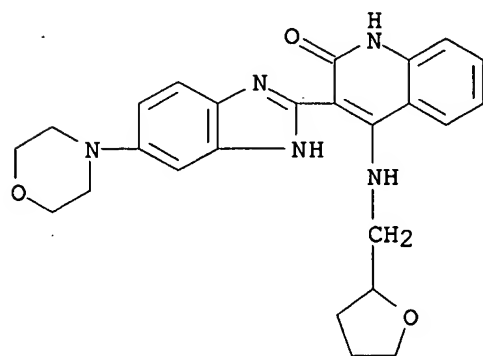
RN 405168-30-1 CAPLUS

CN 2(1H)-Quinolinone, 4-[[2-(dimethylamino)ethyl]amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



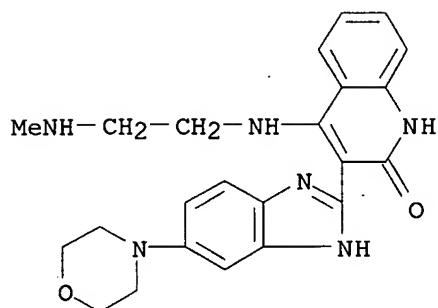
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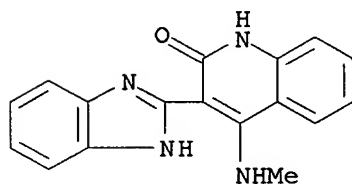
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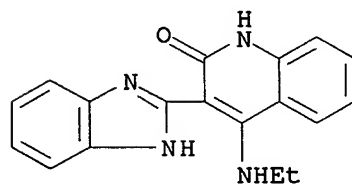
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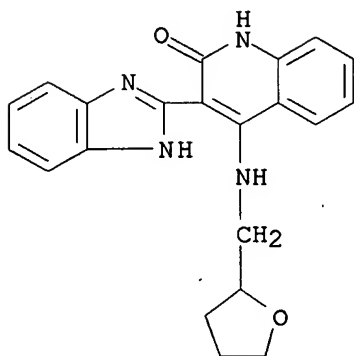
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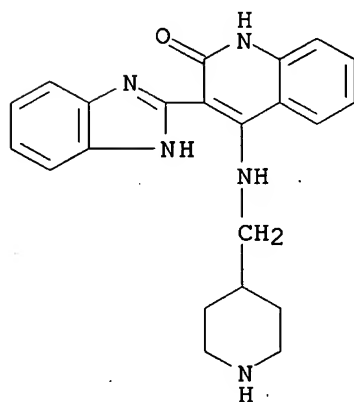
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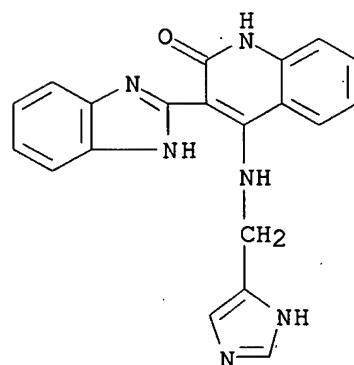
RN 405168-41-4 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(4-piperidinylmethyl)amino]-  
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RN 405168-47-0 CAPLUS

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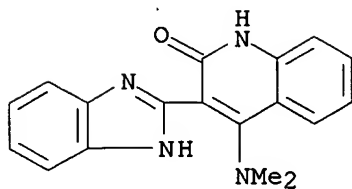


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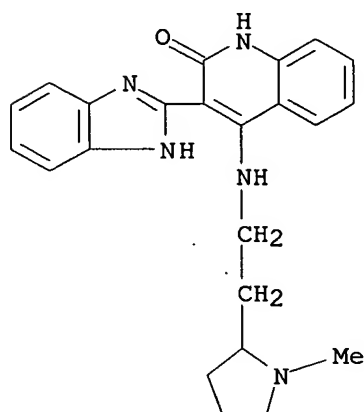


INDEX NAME)



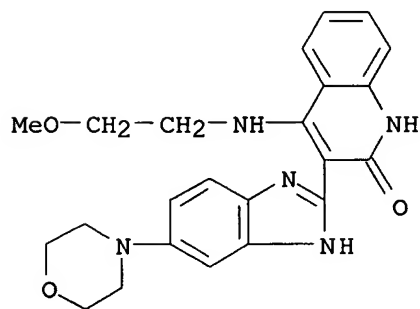
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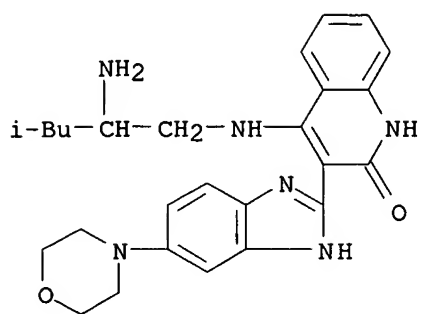
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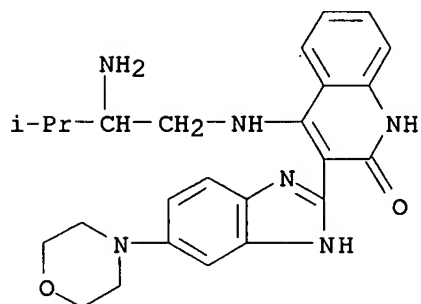
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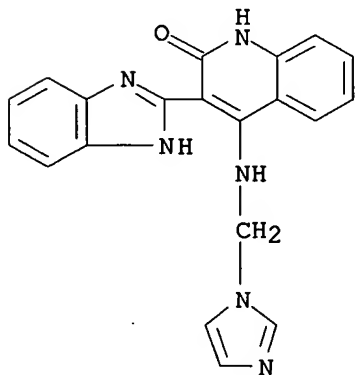
RN 405170-87-8 CAPLUS

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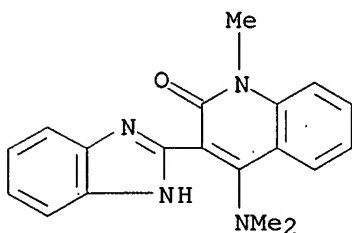
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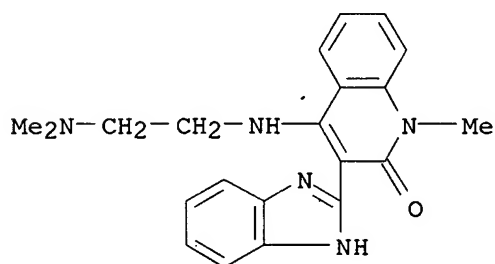


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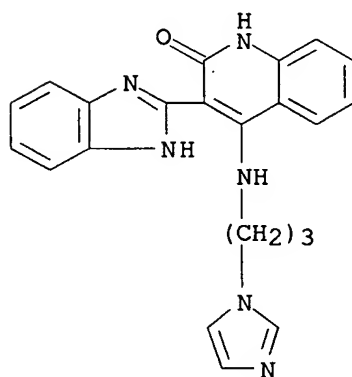
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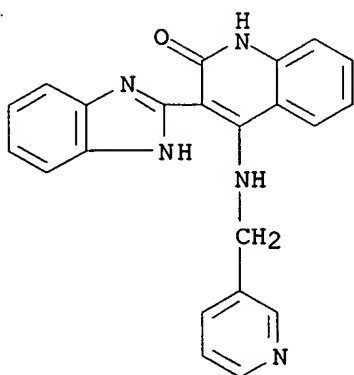
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RN 668423-43-6 CAPLUS  
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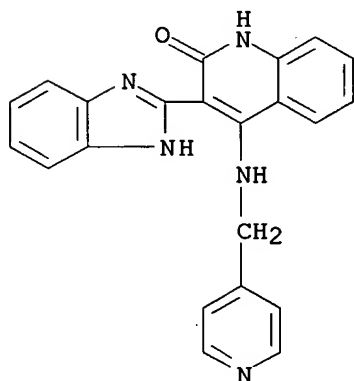


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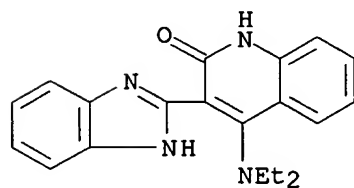
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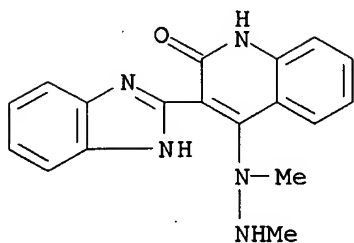
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INDEX NAME)



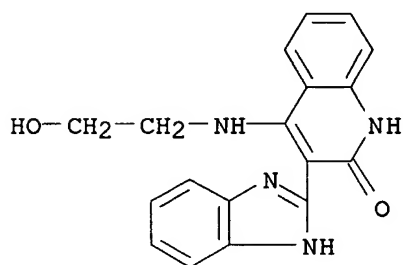
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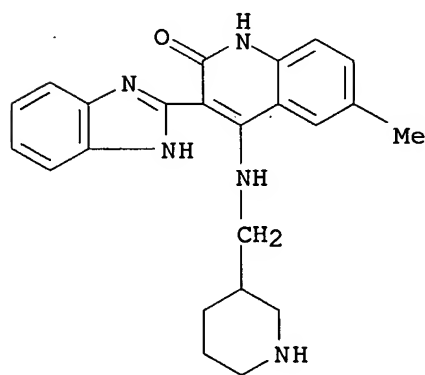
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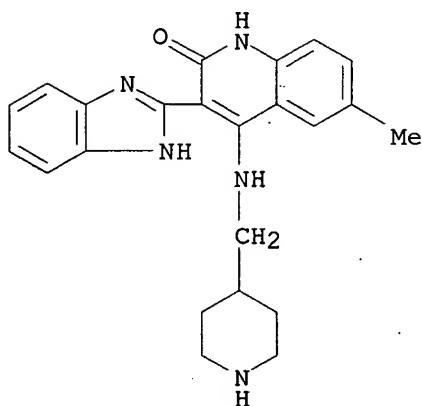
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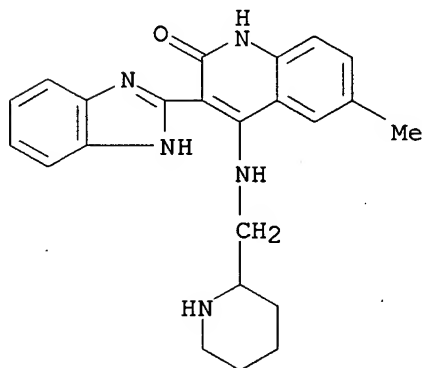
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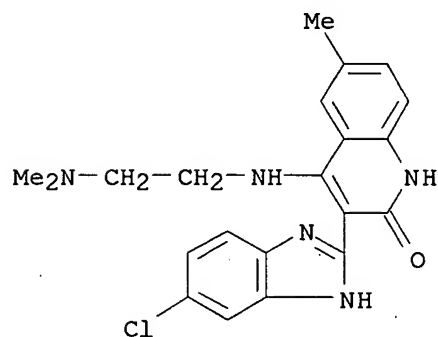
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RN 668429-04-7 CAPLUS

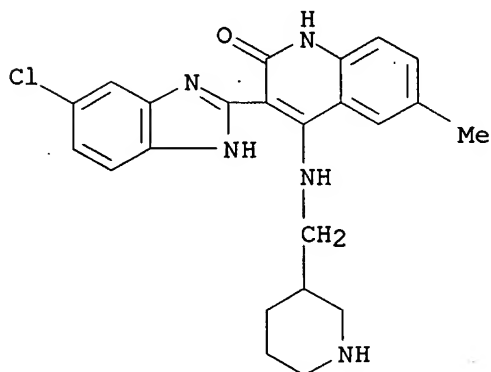
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RN 668429-07-0 CAPLUS

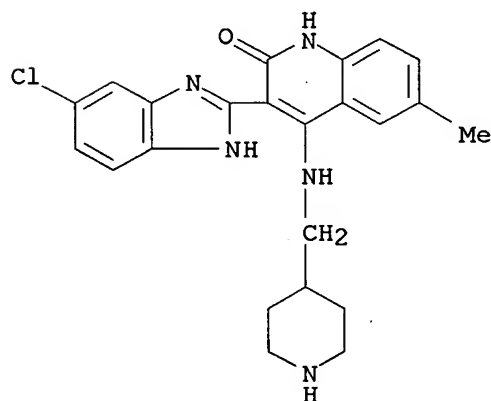
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piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



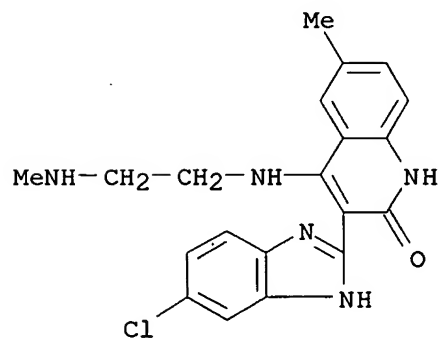
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RN 668429-13-8 CAPLUS

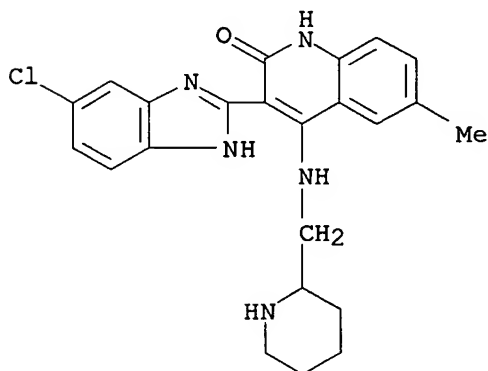
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10/674098-subset search results

RN 668429-15-0 CAPLUS

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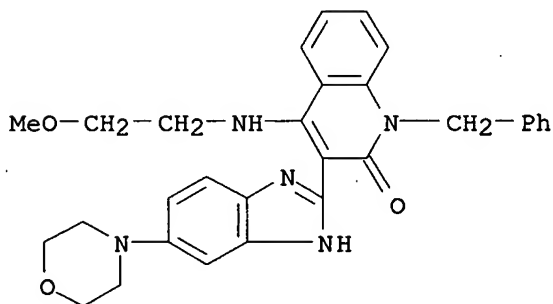
IT 405171-07-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

RN 405171-07-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-methoxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:1223876 CAPLUS

DN 143:477966

TI Preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer

IN Gesner, Thomas G.; Barsanti, Paul A.; Harrison, Stephen D.; Ni, Zhi-Jie; Brammeier, Nathan M.; Zhou, Yasheen; Le, Vincent P.

PA Chiron Corporation, USA

SO U.S. Pat. Appl. Publ., 249 pp., Cont.-in-part of U.S. Ser. No. 644,055. CODEN: USXXCO

DT Patent

LA English

FAN.CNT 7

PATENT NO.

KIND

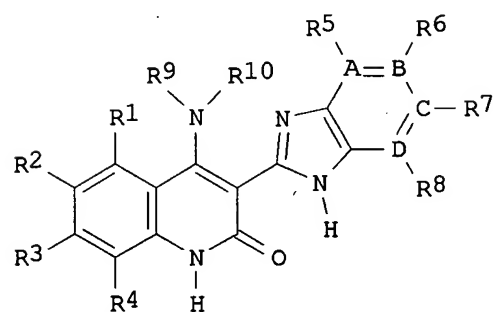
DATE

APPLICATION NO.

DATE



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	CN 1692112	A	20051102	CN 2003-824565	20030819
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OS	MARPAT 143:477966				
GI					



I

AB The title compds. [I; A, B, C, D = C, N; R1 = H, halo, CN, NO2, etc.; R2, R3 = H, halo, NO2, CN, etc.; R4 = H, (un)substituted alkyl; R5, R8 = H, (un)substituted alkyl, alkenyl, heterocyclyl; or R5 may be absent if A = N; or R8 may be absent if D = N; R6, R7 = H, halo, NO2, CN, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or R9 and R10 join together to form one or more rings, each having 5-7 members], useful for inhibiting checkpoint kinase 1, inducing cell cycle progression, and increasing apoptosis in cells, were prepared. E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The compds. I were tested against various kinases. Two of the prepared compds. I, 4-[(3S)-1-azabicyclo[2.2.2]oct-3-ylamino]-3-(1H-benzimidazol-2-yl)-6-chloroquinolin-2-(1H)-one and 6-chloro-3-[5-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-4-[(piperidin-2-ylmethyl)amino]quinolin-2-(1H)-one, were found to be potent inhibitors of CHK1 with IC50 of 0.32 nM and 0.63 nM, resp. The majority of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 $\epsilon$ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR $\alpha$ , and PDGFR $\beta$ . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3,

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c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR $\alpha$ , and PDGFR $\beta$  with IC50 values of less than 1  $\mu$ M. The compds. I may be used to prepare pharmaceutical compns. and may be used in conjunction with DNA damaging agents.

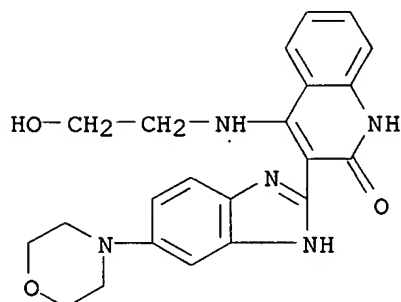
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

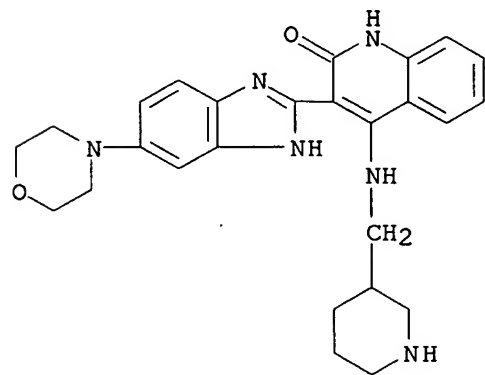
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CN 2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 405168-29-8 CAPLUS

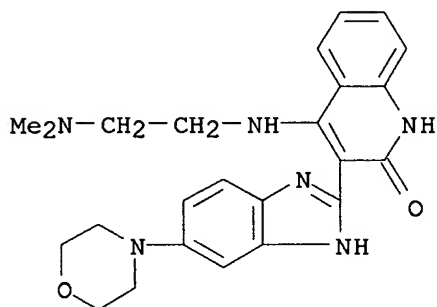
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10/674098-subset search results

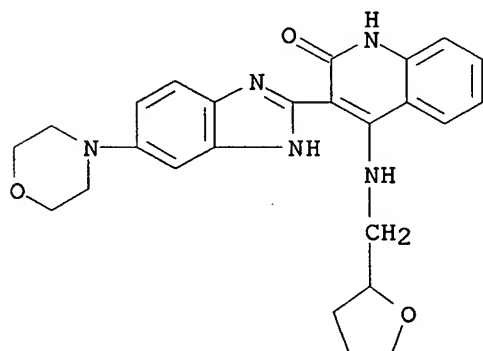
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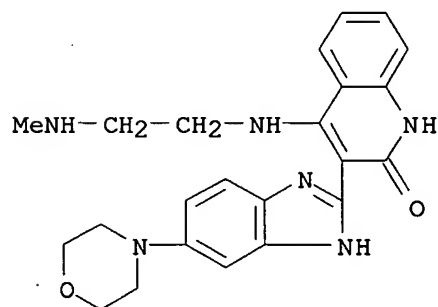
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CN 2(1H)-Quinolinone, 3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[[2-(tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)



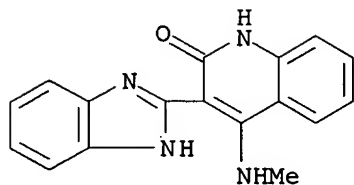
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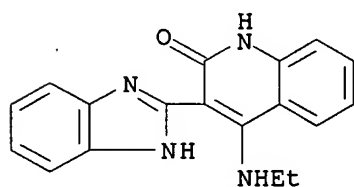


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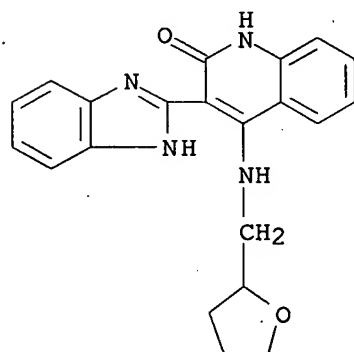
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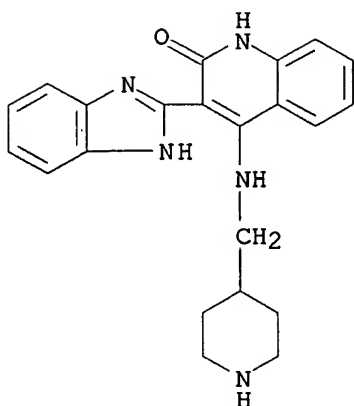
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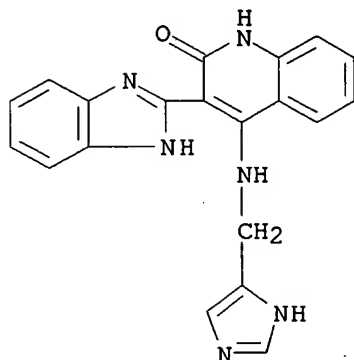
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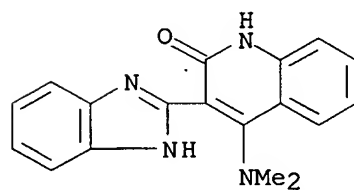
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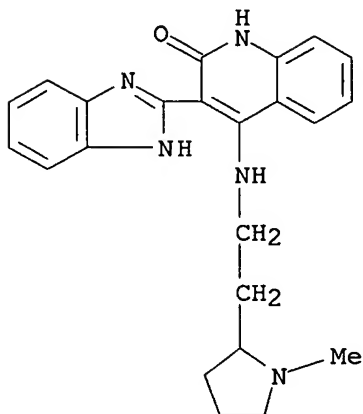
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RN 405170-04-9 CAPLUS  
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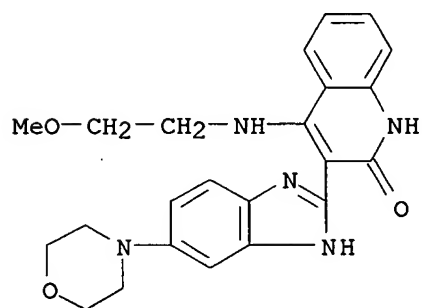


RN 405170-84-5 CAPLUS  
 CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



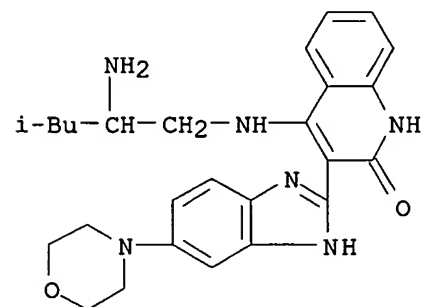
RN 405170-85-6 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-methoxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



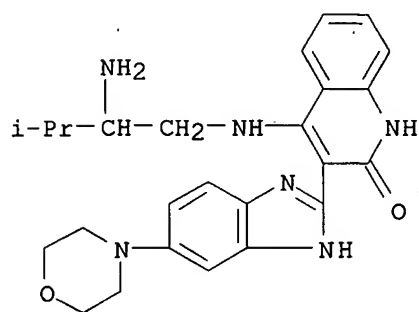
RN 405170-86-7 CAPLUS

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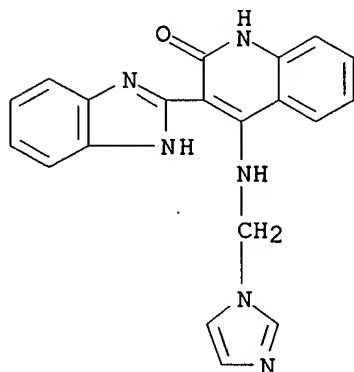
RN 405170-87-8. CAPLUS

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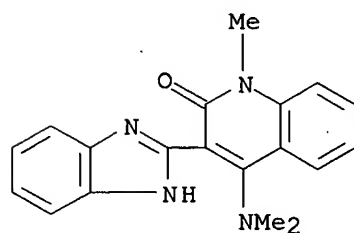
RN 668422-85-3 CAPLUS

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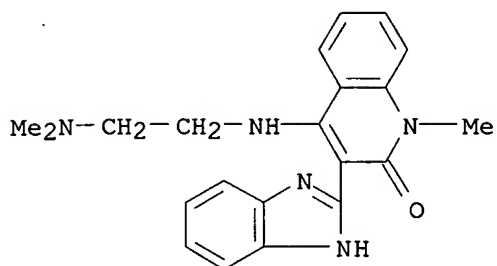
RN 668423-39-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(dimethylamino)-1-methyl- (9CI) (CA INDEX NAME)



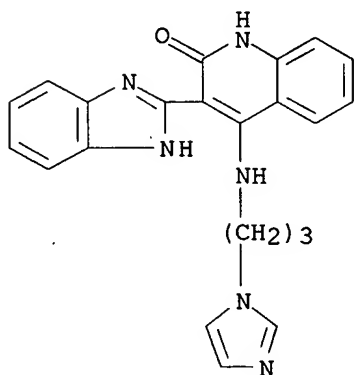
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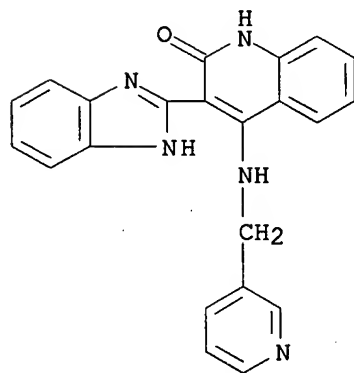
RN 668423-43-6 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[3-(1H-imidazol-1-yl)propyl]amino]- (9CI) (CA INDEX NAME)



RN 668423-44-7 CAPLUS

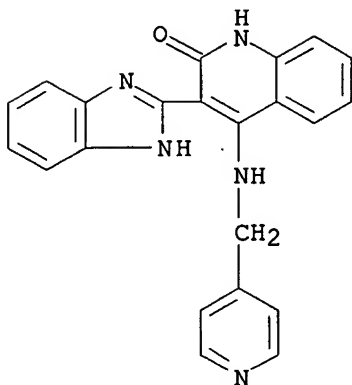
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RN 668423-47-0 CAPLUS

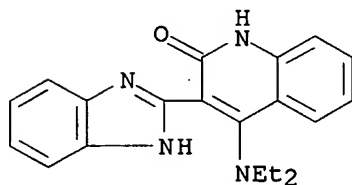
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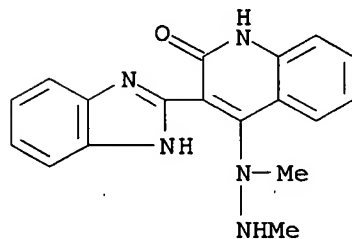
RN 668423-51-6 CAPLUS

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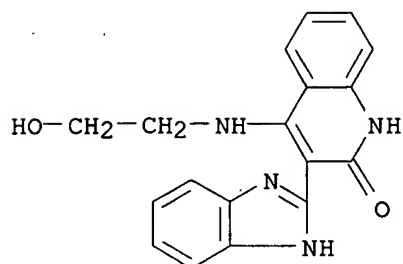
RN 668423-52-7 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(1,2-dimethylhydrazino)- (9CI) (CA INDEX NAME)



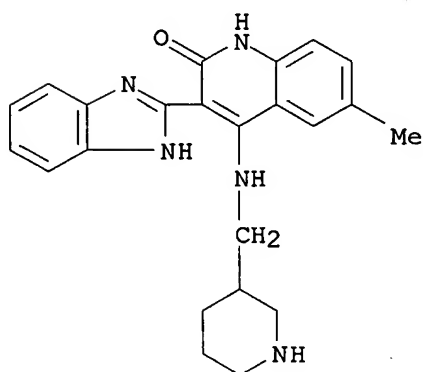
RN 668423-81-2 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)



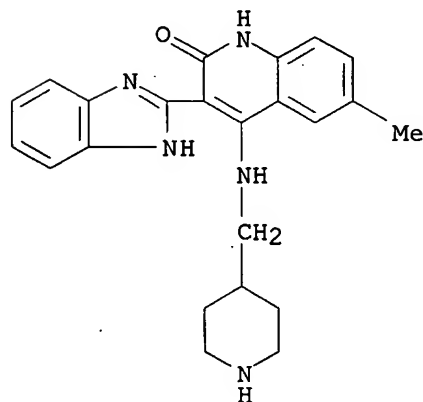
RN 668424-44-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



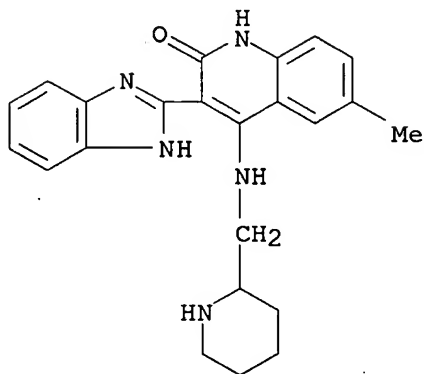
RN 668424-49-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



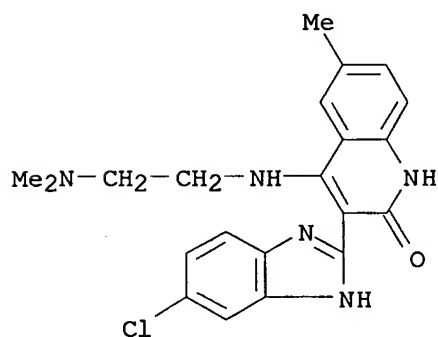
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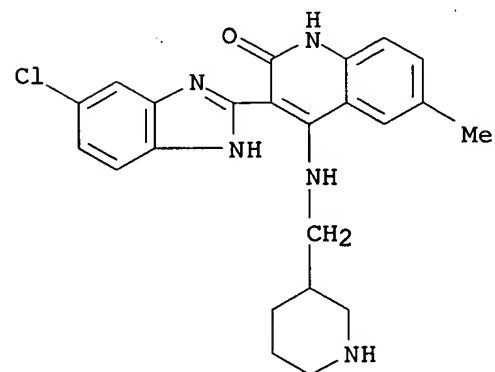
RN 668429-04-7 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-4-[[2-(dimethylamino)ethyl]amino]-6-methyl- (9CI) (CA INDEX NAME)



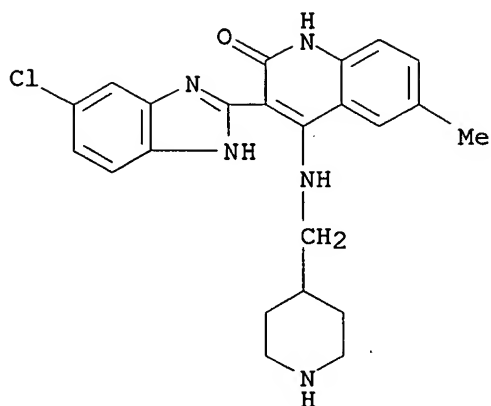
RN 668429-07-0 CAPLUS

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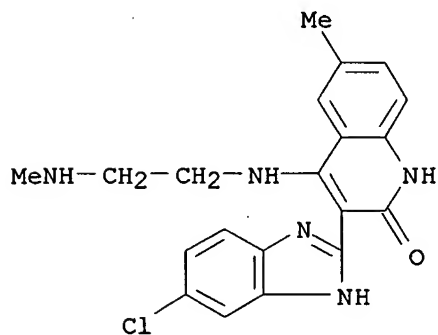
RN 668429-10-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



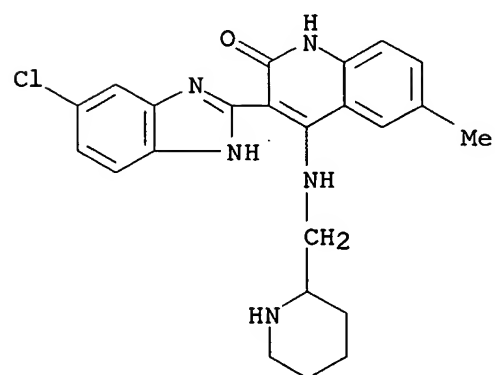
RN 668429-13-8 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[[2-(methylamino)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 668429-15-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



IT 405171-07-5P

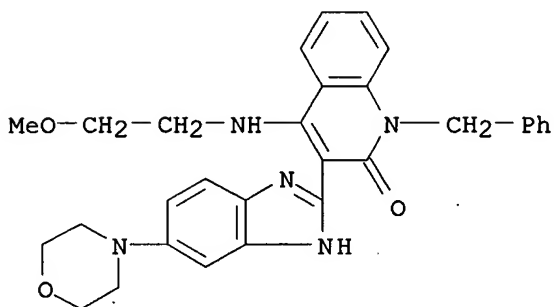
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of benzimidazole quinolinones for inhibiting a checkpoint kinase 1 and their use in combination therapy for cancer)

RN 405171-07-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-methoxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:976928 CAPLUS

DN 143:279443

TI 4-Amino-3-(benzimidazol-2-yl)quinolin-2-one derivatives for the modulation of inflammatory and metastatic processes

IN Lee, Sang H.; Heise, Carla C.

PA Chiron Corporation, USA

SO PCT Int. Appl., 145 pp.

CODEN: PIXXD2

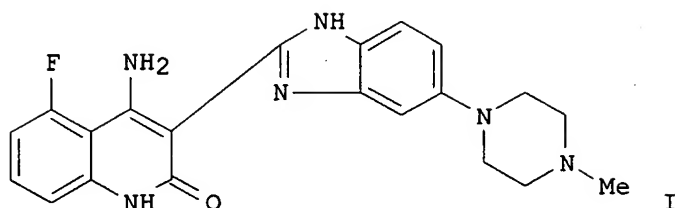
DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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	CA 2556872	AA	20050909	CA 2005-2556872	20050218
	US 2005239825	A1	20051027	US 2005-61386	20050218
PRAI	US 2004-546395P	P	20040220		
	US 2004-547103P	P	20040223		
	US 2004-554771P	P	20040319		
	WO 2005-US5316	W	20050218		
OS	MARPAT 143:279443				
GI					

*Later*



AB The invention provides methods for using of using 4-Amino-3-(benzimidazol-2-yl)quinolin-2-one derivs. (Markush included), or a salt or tautomer thereof, in the treatment of disorders relating to cell adhesion and metastatic processes. Preparation of I is included.

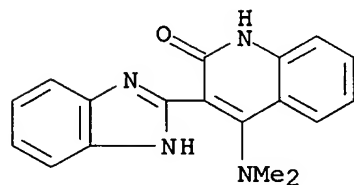
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668424-52-0 668429-04-7 668429-07-0  
668429-10-5 668429-13-8 668429-15-0

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(benzimidazolyl aminoquinolinone derivs. for modulation of inflammatory and metastatic processes)

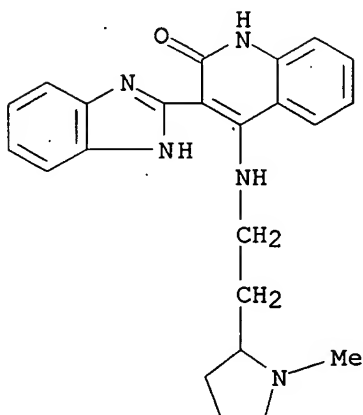
RN 405170-04-9 CAPLUS

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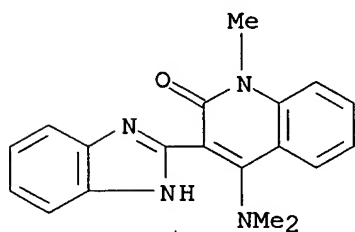
RN 405170-84-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



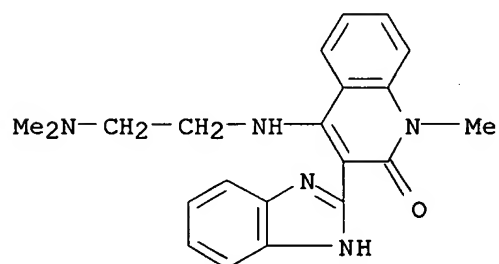
RN 668423-39-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(dimethylamino)-1-methyl- (9CI) (CA INDEX NAME)



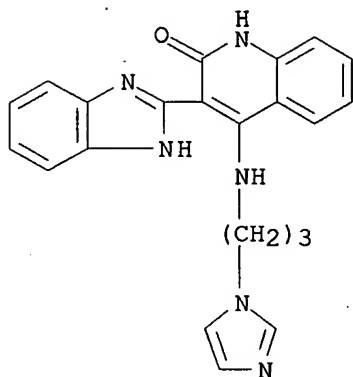
RN 668423-40-3 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[2-(dimethylamino)ethyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



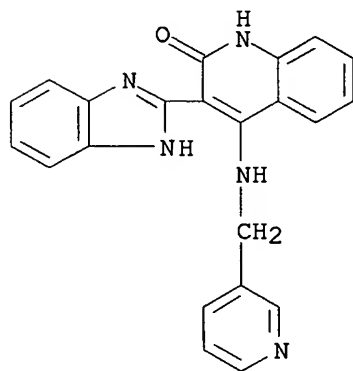
RN 668423-43-6 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[3-(1H-imidazol-1-yl)propyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



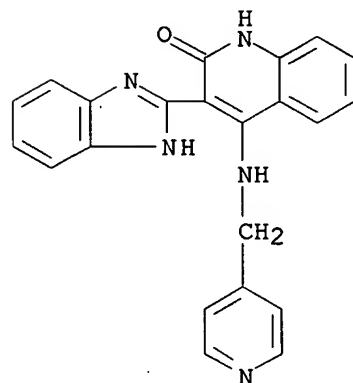
RN 668423-44-7 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(3-pyridinylmethyl)amino]-  
(9CI) (CA INDEX NAME)



RN 668423-47-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(4-pyridinylmethyl)amino]-  
(9CI) (CA INDEX NAME)

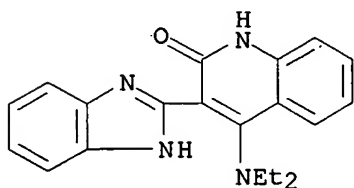


RN 668423-51-6 CAPLUS



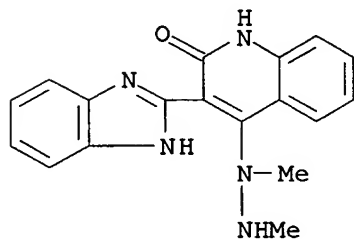
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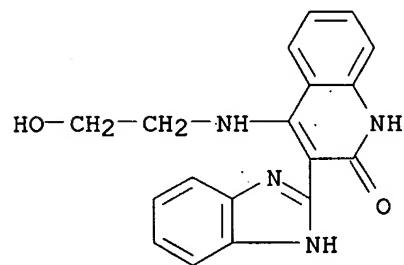
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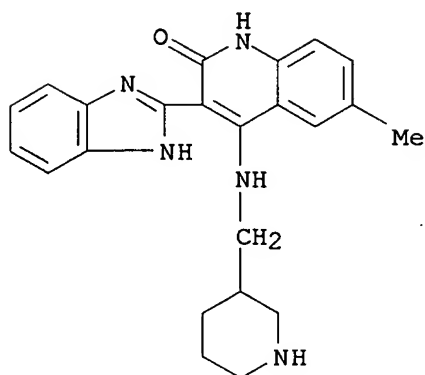
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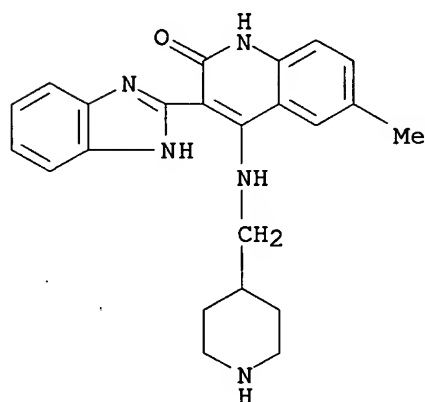
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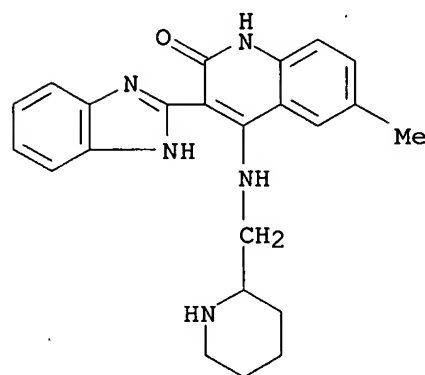
RN 668424-49-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 668424-52-0 CAPLUS

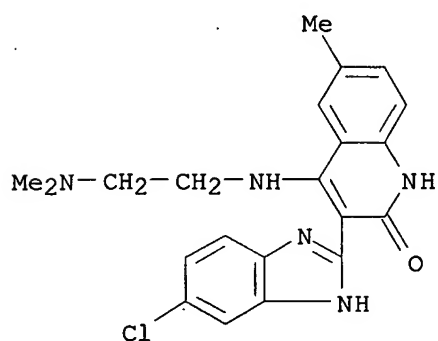
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 668429-04-7 CAPLUS

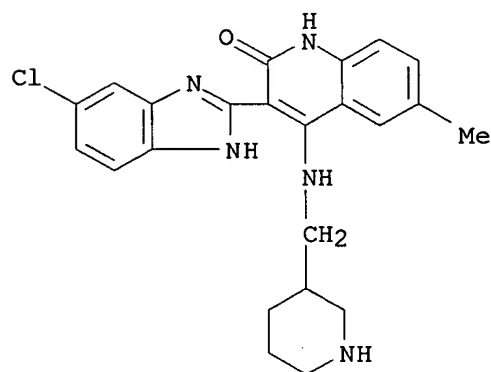
10/674098-subset search results

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-4-[[2-(dimethylamino)ethyl]amino]-6-methyl- (9CI) (CA INDEX NAME)



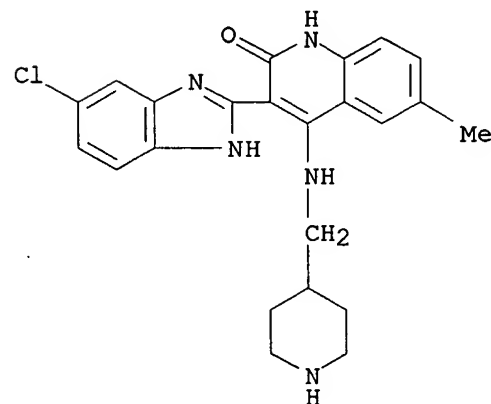
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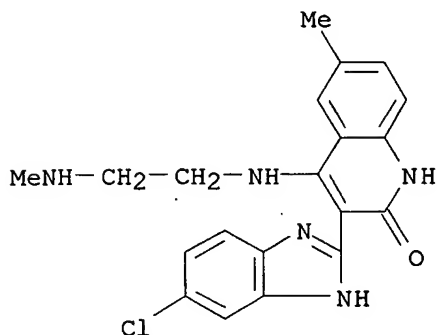
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CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



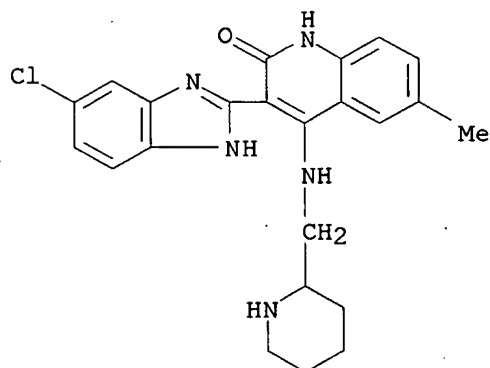
RN 668429-13-8 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[[2-(methylamino)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 668429-15-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



L9 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:451351 CAPLUS

DN 143:7710

TI Preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma

IN Cai, Shaopei; Chou, Joyce; Harwood, Eric; Heise, Carla C.; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Wiesmann, Marion; Zhu, Shuguang

PA Chiron Corporation, USA

SO PCT Int. Appl., 567 pp.

CODEN: PIXXD2

DT Patent

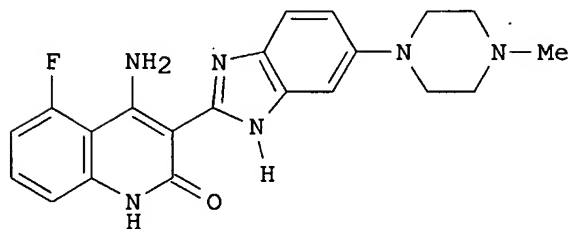
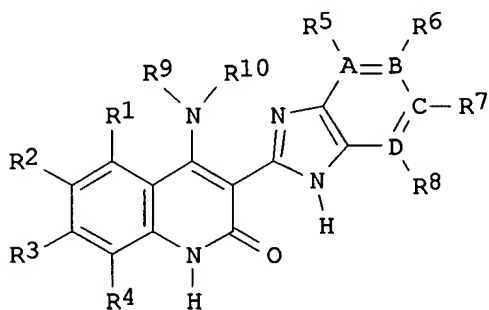
LA English

FAN.CNT 7

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 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,  
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,  
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,  
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO,  
 SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR,  
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 US 2003-526425P P 20031202  
 US 2003-526426P P 20031202  
 US 2004-546017P P 20040219  
 WO 2004-US36956 W 20041105  
 OS  
 GI

*Later*



AB The title compds. I [A, B, C, and D = C, N; R1-R3 = H, halo, CN, NO2,  
 etc.; R4 = H, alkyl; R5-R8 = H, halo, CN, NO2, etc.; R9 = H,  
 (un)substituted alkyl, aryl, etc.; R10 = H], useful for inhibiting  
 fibroblast growth factor receptor 3 or treating a biol. condition mediated

by fibroblast growth factor receptor 3, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II), starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 $\epsilon$ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR $\alpha$ , and PDGFR $\beta$ . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR $\alpha$ , and PDGFR $\beta$  with IC50 values of less than 1  $\mu$ M. The mentioned above compound II was tested in various tests and showed significant antiproliferative activity. II inhibits FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

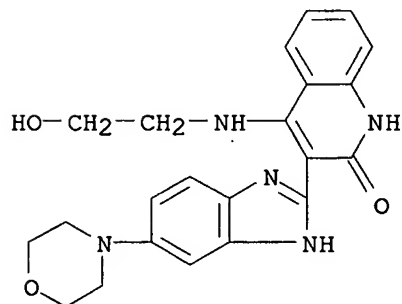
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 668429-13-8P 668429-15-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

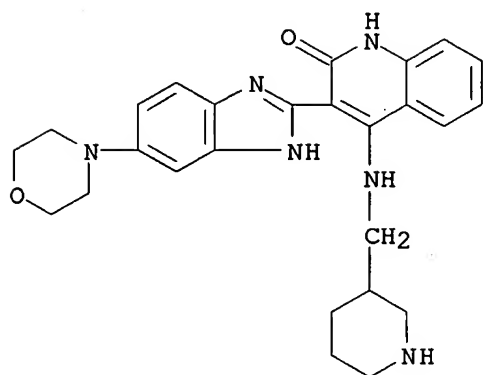
RN 405168-26-5 CAPLUS

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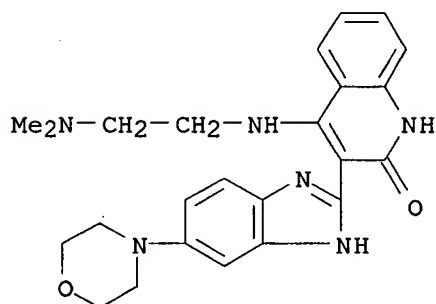
RN 405168-29-8 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



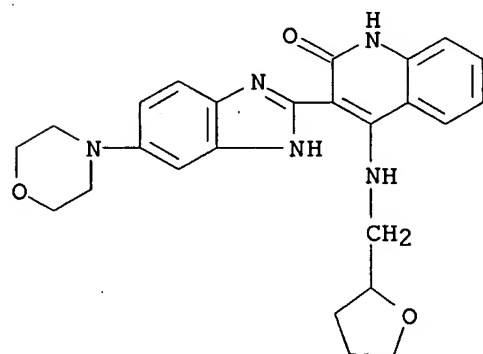
RN 405168-30-1 CAPLUS

CN 2(1H)-Quinolinone, 4-[[2-(dimethylamino)ethyl]amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



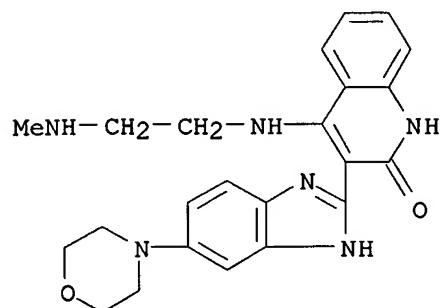
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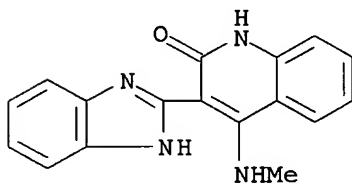
RN 405168-32-3 CAPLUS

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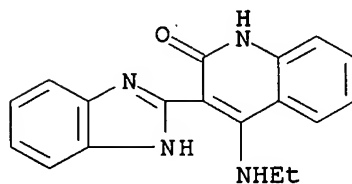
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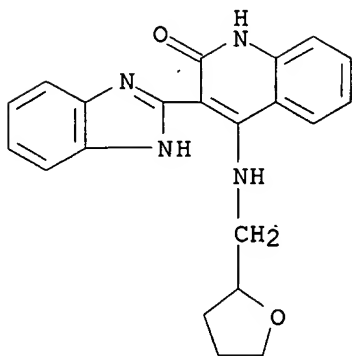
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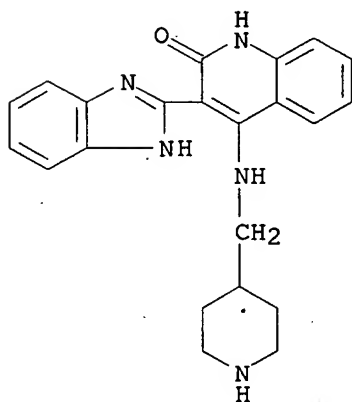
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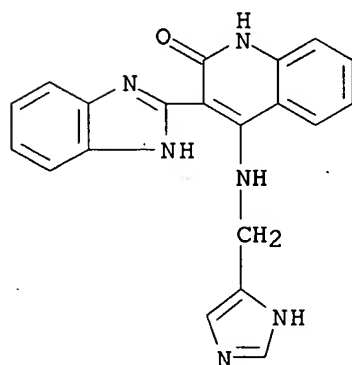
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CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(4-piperidinylmethyl)amino]-  
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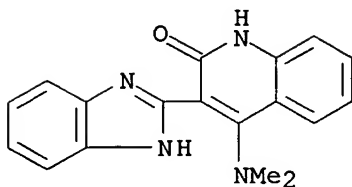
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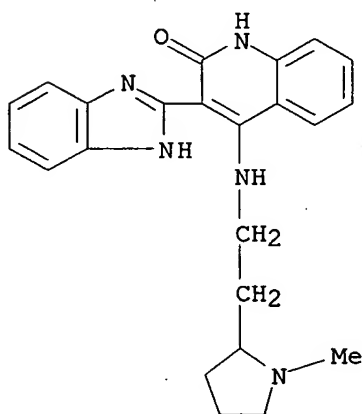
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INDEX NAME)



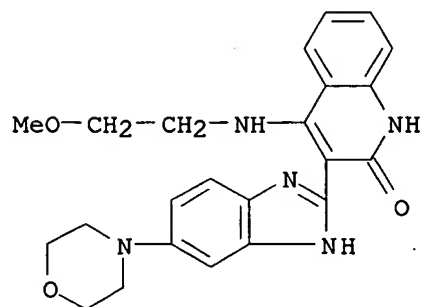
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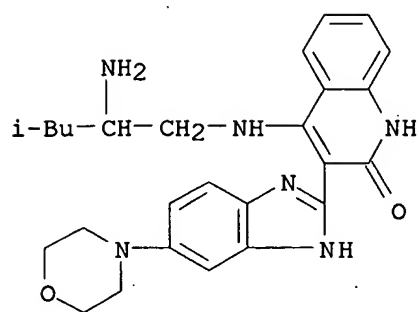
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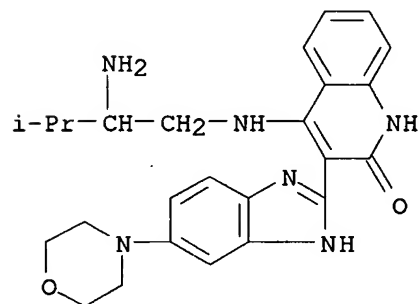
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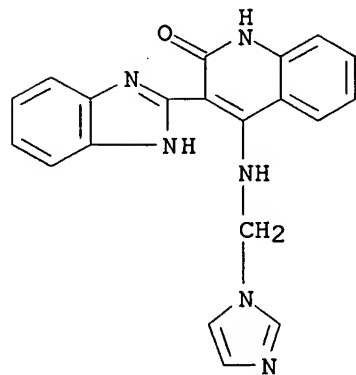
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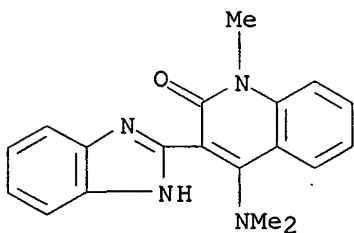
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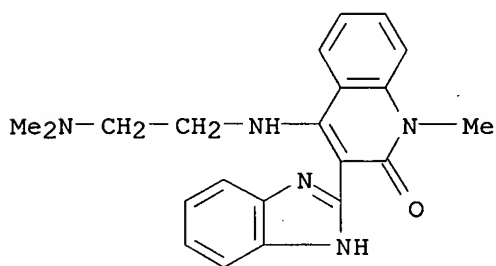


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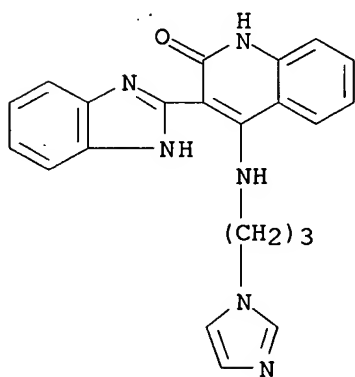
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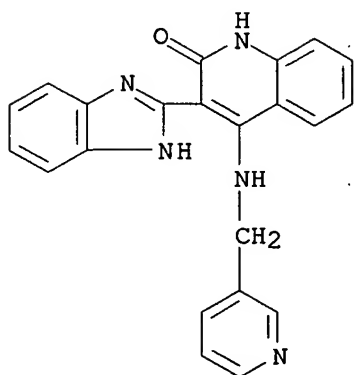
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 CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[2-(dimethylamino)ethyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



RN 668423-43-6 CAPLUS  
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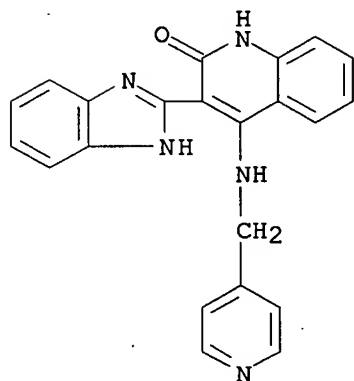


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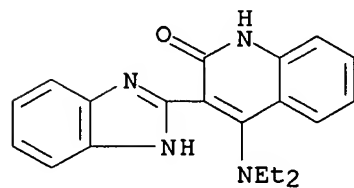
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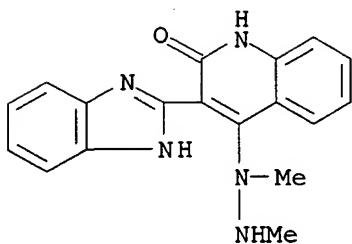
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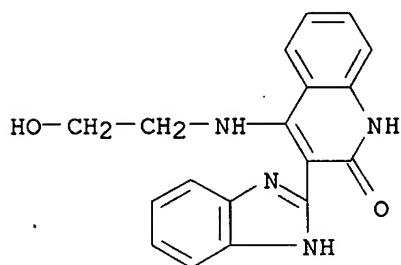
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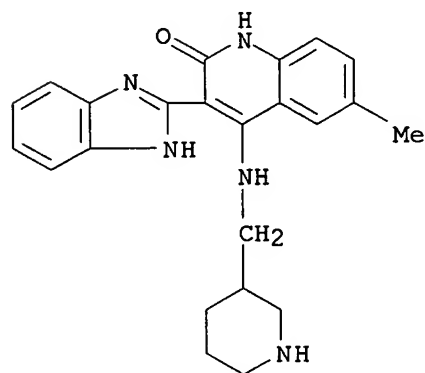
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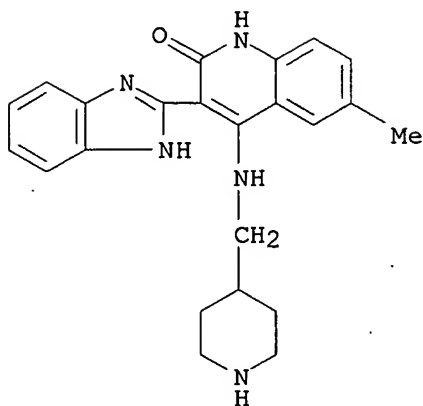
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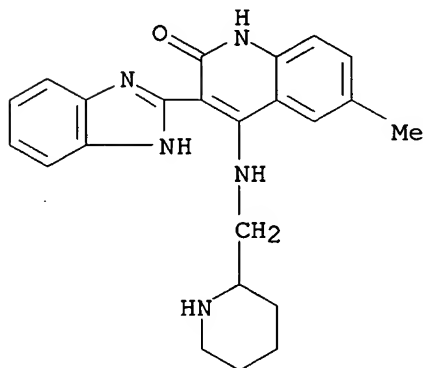
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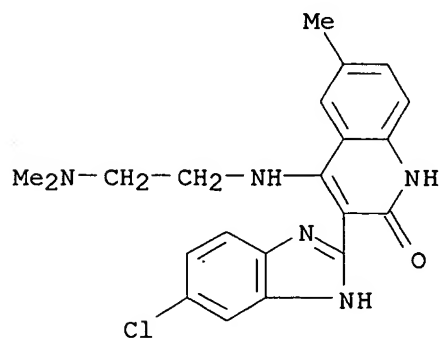
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CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 668429-04-7 CAPLUS

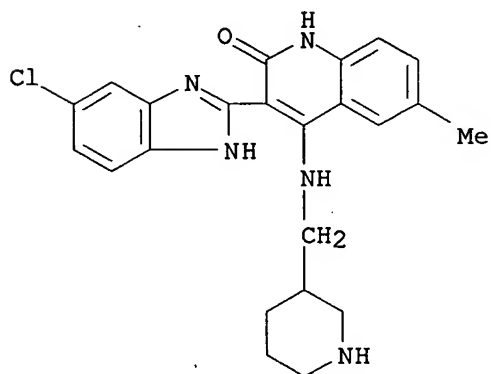
CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-4-[[2-(dimethylamino)ethyl]amino]-6-methyl- (9CI) (CA INDEX NAME)



RN 668429-07-0 CAPLUS

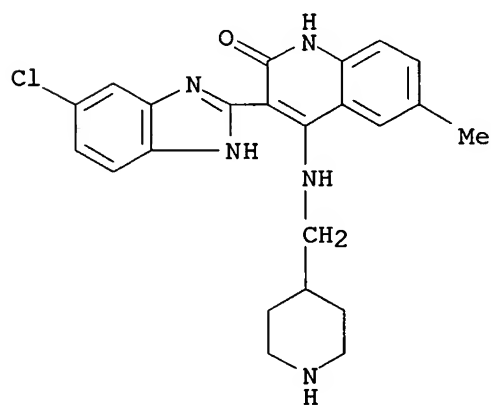
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piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



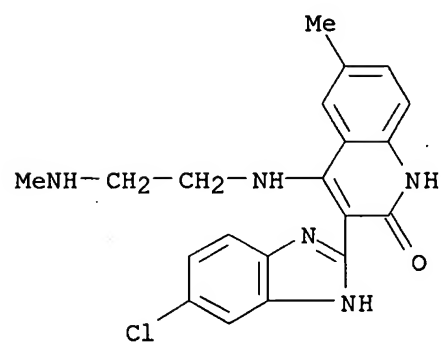
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RN 668429-13-8 CAPLUS

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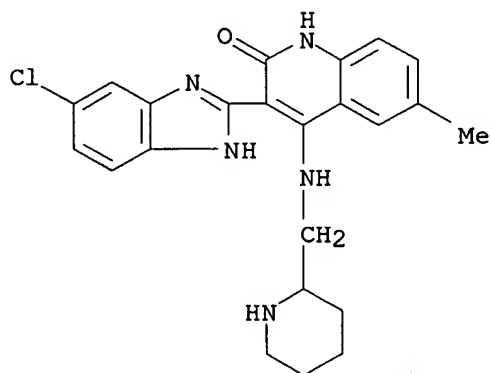




10/674098-subset search results

RN 668429-15-0 CAPLUS

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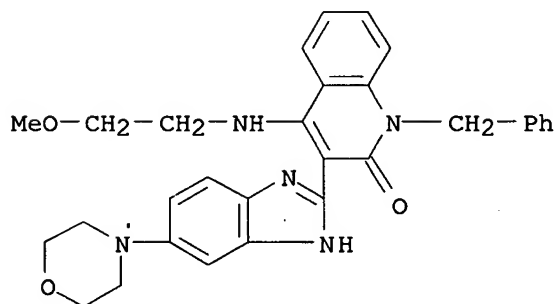
IT 405171-07-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole quinolinones for inhibiting FGFR3 and treating multiple myeloma)

RN 405171-07-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-methoxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2005:451118 CAPLUS

DN 143:7709

TI Preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial growth factor receptor tyrosine kinase

IN Cai, Shaopei; Chou, Joyce; Harwood, Eric; Machajewski, Timothy D.; Ryckman, David; Shang, Xiao; Zhu, Shuguang

PA Chiron Corporation, USA

SO PCT Int. Appl., 215 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 7

PATENT NO.

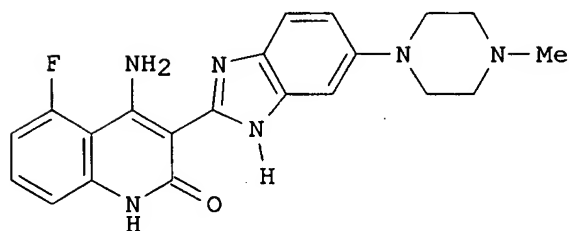
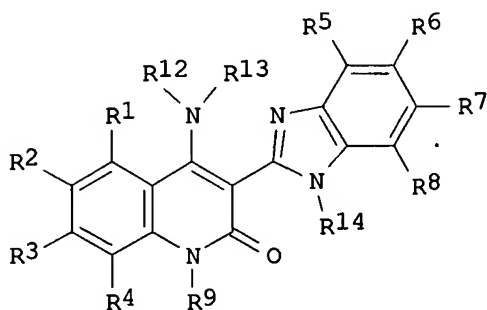
KIND

DATE

APPLICATION NO.

DATE

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	WO 2004-US36941	W	20041105		
OS	CASREACT 143:7709; MARPAT 143:7709				
GI					



AB The title compds. I [R1-R4 = H, halo, CN, NO2, etc.; R5-R8 = H, halo, NO2,

etc.; R9 = H; R12 = H, alkyl, aryl, heterocyclyl; R13 = H, alkyl, aryl, heterocyclyl, etc.; R14 = H] and their pharmaceutically acceptable lactate salts, useful for inhibiting vascular endothelial growth factor receptor tyrosine kinase, were prepared E.g., a multi-step synthesis of 4-amino-5-fluoro-3-[6-(4-methylpiperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one (II) and its lactate salt, starting from 5-chloro-2-nitroaniline and 1-methylpiperazine, was given. The pharmaceutically acceptable salts of I have improved aqueous solubility and desirable drug substance properties. Many of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to Flt-1, KDR, PDGF, c-KIT, FLT-3, VEGFR1, VEGFR2, c-Met, CSF-1, FGFR3 and/or bFGFR. In addition, many of the exemplary compds. exhibited IC50 value of less than 10  $\mu$ M with respect to PDGFR. The 4-amino substituted compds. I such as II were found to be potent inhibitors of various kinases such as VEGFR2 (KDR, Flk-1), FGFR1 and PDGFR $\beta$  with IC50's ranging from 10-27 nM. II inhibits FGFR3 receptor phosphorylation and ERK phosphorylation in multiple myeloma cell lines with activating FGFR3 mutations.

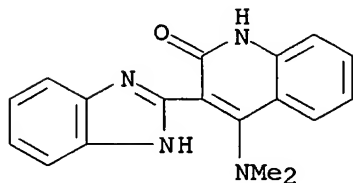
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazole quinolinones and lactate salts thereof for inhibiting vascular endothelial growth factor receptor tyrosine kinase)

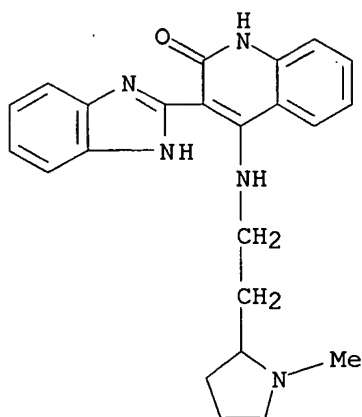
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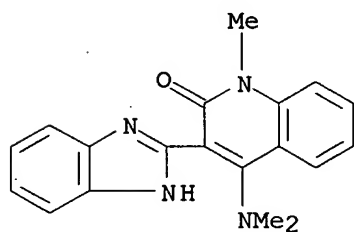
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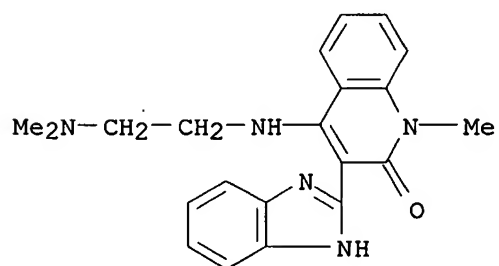
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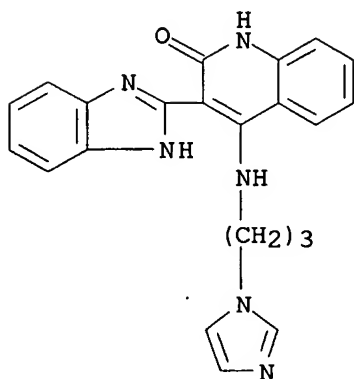
RN 668423-40-3 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[2-(dimethylamino)ethyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



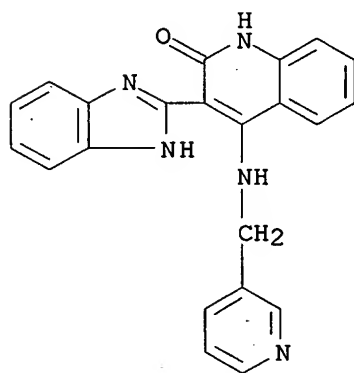
RN 668423-43-6 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[3-(1H-imidazol-1-yl)propyl]amino]-1-methyl- (9CI) (CA INDEX NAME)



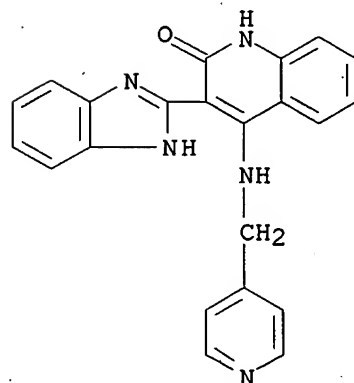
RN 668423-44-7 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(3-pyridinylmethyl)amino]-  
(9CI) (CA INDEX NAME)



RN 668423-47-0 CAPLUS

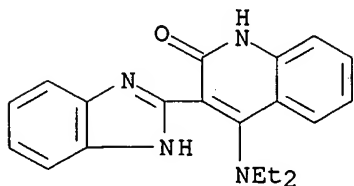
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(4-pyridinylmethyl)amino]-  
(9CI) (CA INDEX NAME)



RN 668423-51-6 CAPLUS

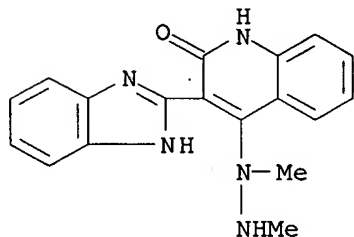
10/674098-subset search results

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(diethylamino)- (9CI) (CA INDEX NAME)



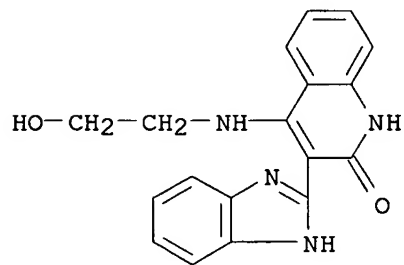
RN 668423-52-7 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(1,2-dimethylhydrazino)- (9CI) (CA INDEX NAME)



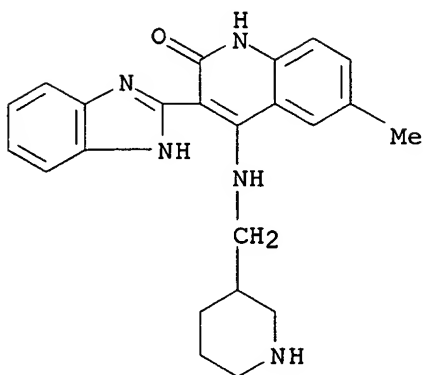
RN 668423-81-2 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)



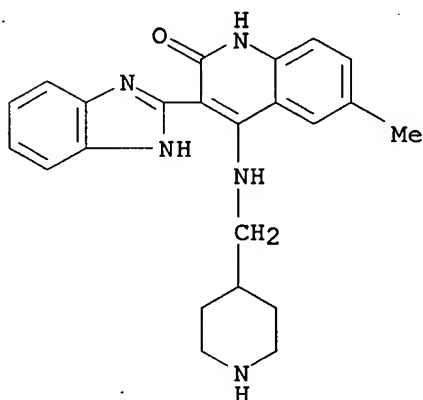
RN 668424-44-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



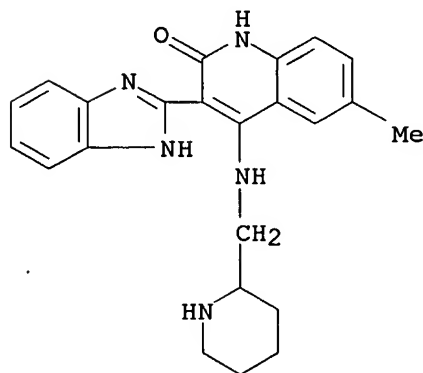
RN 668424-49-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 668424-52-0 CAPLUS

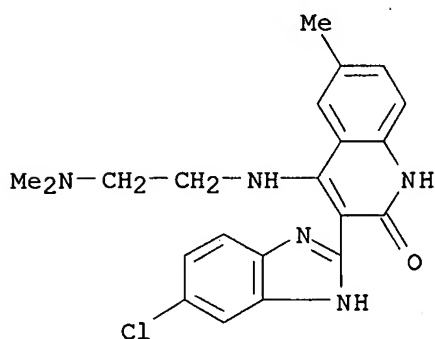
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 668429-04-7 CAPLUS

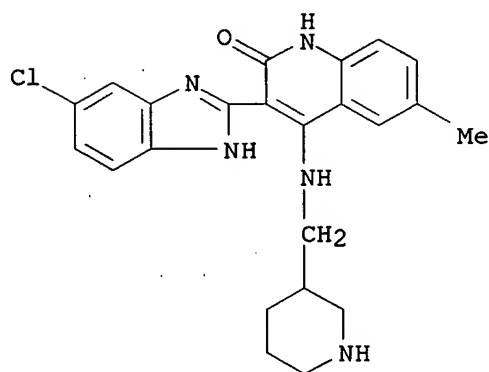
10/674098-subset search results

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-4-[[2-(dimethylamino)ethyl]amino]-6-methyl- (9CI) (CA INDEX NAME)



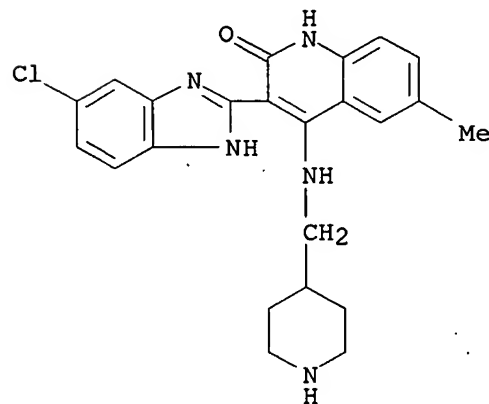
RN 668429-07-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 668429-10-5 CAPLUS

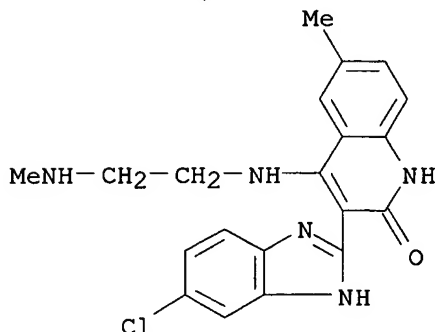
CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)





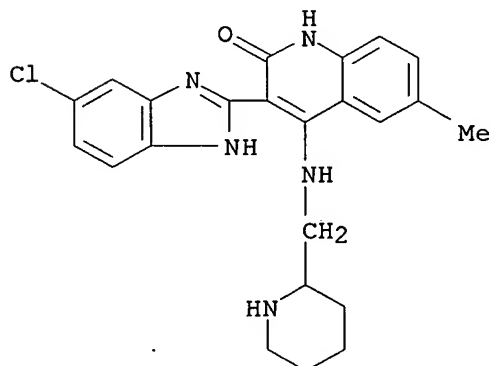
RN 668429-13-8 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[[2-(methylamino)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 668429-15-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



L9 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:310953 CAPLUS

DN 140:321363

TI Preparation of [(piperazinyl)benzimidazolyl]quinolinones and analogs as tyrosine kinase inhibitors for treatment of cancer

IN Velaparthi, Upender; Wittman, Mark D.

PA Bristol-Myers Squibb Company, USA

SO PCT Int. Appl., 62 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004030620	A2	20040415	WO 2003-US30669	20030929
	WO 2004030620	A3	20040610		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

App<sup>s</sup>

CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,  
 GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,  
 LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ,  
 OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,  
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW  
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 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,  
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 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
 AU 2003275282 A1 20040423 AU 2003-275282 20030929  
 US 2004092514 A1 20040513 US 2003-674098 20030929  
 EP 1545529 A2 20050629 EP 2003-759558 20030929  
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 PRAI US 2002-415066P P 20020930  
 WO 2003-US30669 W 20030929  
 OS MARPAT 140:321363  
 GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

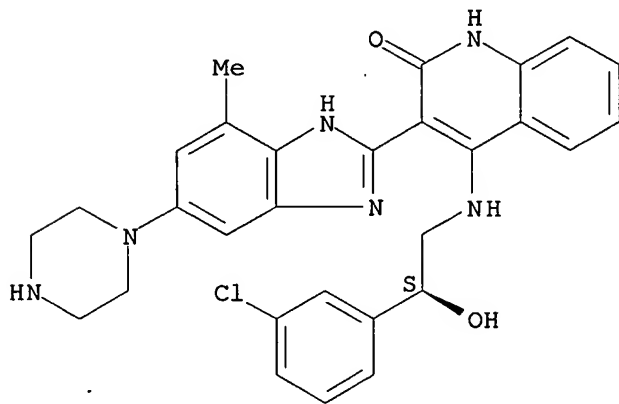
- AB Title compds. I and II [wherein A, B, D, and E = independently C, N, O, S or a direct bond, provided that not more than one of A, B, D, and E can be a single bond; Y = O or S; W = N, CH, O, and S, provided that when W = O or S, R7 is absent; R1-R7 = independently H, alkyl, alkenyl, alkynyl, (hetero)cycloalkyl, halo, amino(alkyl), (thio)alkoxy, NO2, (hetero)aryl, (thio)alkoxyalkyl, aminoalkyl, (hetero)aralkyl, heterocycloalkylalkyl, CN, CO2R8, CONR9R10, CO2NR11R12, NR13CONR14R15, NR16SO2R17, SO2NR18R19, C(NR20)NR21R22, NHZ, or NHZ-(hetero)aryl; Z = (un)substituted (cyclo)alkyl, (cyclo)alkenyl, or alkynyl, optionally interrupted by CO, CONH, CNOR26, CNNR27, CNNCOR28, or CNNSO2R29; R8-R24 and R26 = independently H, alkyl, alkenyl, alkynyl, cycloalkyl(alkyl), OH, alkoxy, (hetero)aryl, heterocyclyl, heteroarylalkyl, alkyl-R25; R25 = alkenyl, OH, SH, (thio)alkoxy, NH2, (di)alkylamino, (hetero)aryl, CN, halo, heterocyclyl, sulfoxy, sulfonyl, NR27CO2R28, NR29COR30, NR31SO2R32, SO2NR31R32, or CONR33R34; R27-R34 = independently H, or (cyclo)alkyl; and enantiomers, diastereomers, pharmaceutically acceptable salts, hydrates, prodrugs, or solvates thereof] were prepared as tyrosine kinase inhibitors. For example, 1-[4-(3,4-diamino-5-methylphenyl)piperazin-1-yl]ethanone was condensed with 2,4-dichloroquinoline-3-carboxaldehyde in MeOH to give the benzimidazole. Hydrolysis of the chloro group using 4N HCl in dioxane afforded the 2- and 4-quinolinones. Nucleophilic addition of (S)-2-(3-chlorophenyl)-2-hydroxyethylamine using N-methylmorpholine in DMF provided III and IV. Compds. of the invention exhibited kinase activity of <25  $\mu$ M against one or more of the following kinases: CDK, EMT, FAK, Her1, Her2, IGF, IR, LCK, MET, PDGF, VEGF. Thus, I, II, and their pharmaceutical compns. are useful as for treatment of cancer and other diseases that can be treated by inhibiting tyrosine kinase enzymes (no data).
- IT 677341-90-1P, 4-[[S)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]-3-[4-methyl-6-(piperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-2-one  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (tyrosine kinase inhibitor; preparation of [(piperazinyl)benzimidazolyl]quin

olinones and analogs as tyrosine kinase inhibitors for treatment of cancer)

RN 677341-90-1 CAPLUS

CN 2(1H)-Quinolinone, 4-[[ (2S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-[4-methyl-6-(1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 677341-88-7P, 3-[6-(4-Acetylpiperazin-1-yl)-4-methyl-1H-benzimidazol-2-yl]-4-[[ (S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-1H-quinolin-2-one 677341-89-8P, 3-[6-(4-Acetylpiperazin-1-yl)-4-methyl-1H-benzimidazol-2-yl]-2-[[ (S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-1H-quinolin-4-one 677341-91-2P, 2-[[ (S)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]-3-[4-methyl-6-(piperazin-1-yl)-1H-benzimidazol-2-yl]-1H-quinolin-4-one 677341-92-3P, 4-[[ (S)-2-(3-Chlorophenyl)-2-hydroxyethyl]amino]-3-[6-[4-(2-hydroxyethyl)piperazin-1-yl]-4-methyl-1H-benzimidazol-2-yl]-1H-quinolin-2-one

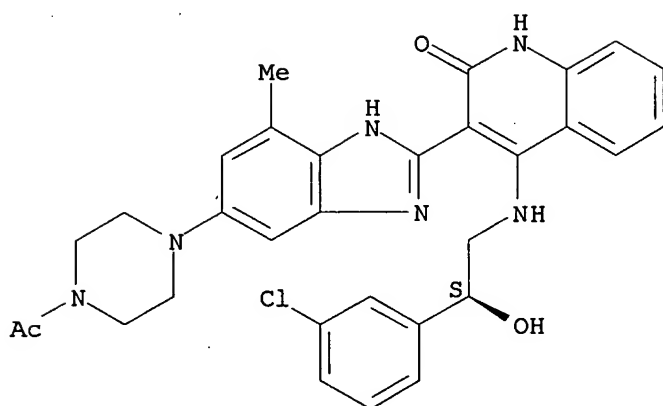
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(tyrosine kinase inhibitor; preparation of [(piperazinyl)benzimidazolyl]quinolinones and analogs as tyrosine kinase inhibitors for treatment of cancer)

RN 677341-88-7 CAPLUS

CN Piperazine, 1-acetyl-4-[2-[4-[[ (2S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-1,2-dihydro-2-oxo-3-quinolinyl]-7-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

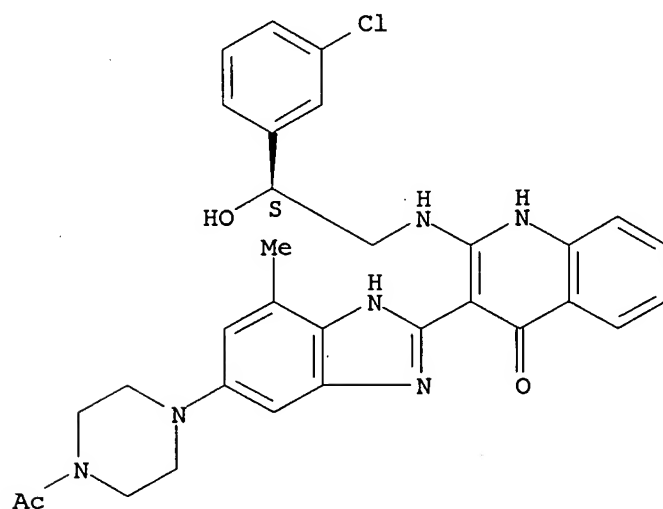
Absolute stereochemistry.



RN 677341-89-8 CAPLUS

CN Piperazine, 1-acetyl-4-[2-[2-[[ (2S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-1,4-dihydro-4-oxo-3-quinolinyl]-7-methyl-1H-benzimidazol-5-yl]- (9CI) (CA INDEX NAME)

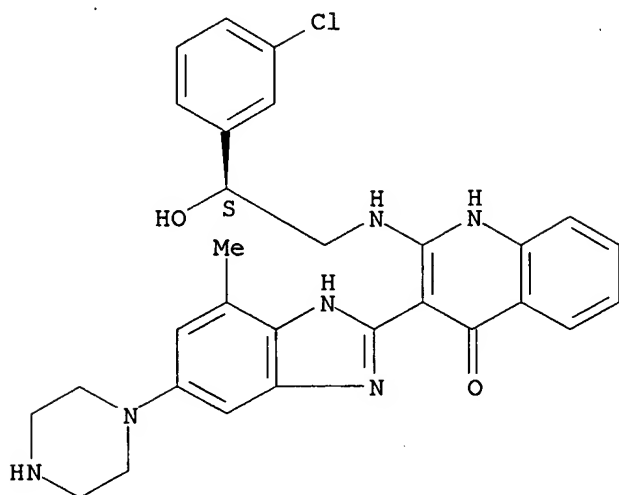
Absolute stereochemistry.



RN 677341-91-2 CAPLUS

CN 4(1H)-Quinolinone, 2-[[ (2S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-[4-methyl-6-(1-piperazinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

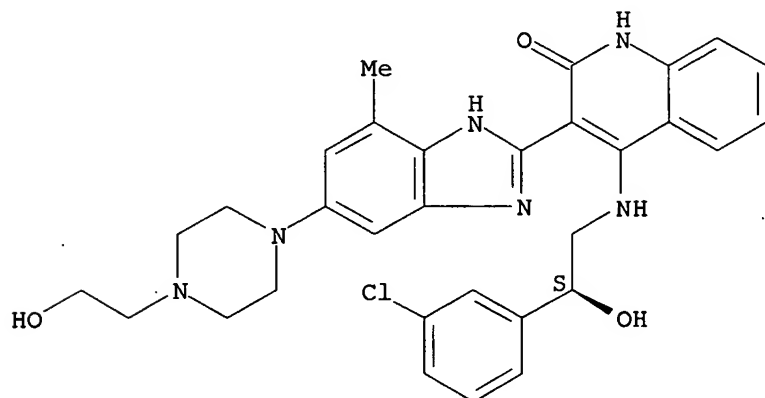
Absolute stereochemistry.



RN 677341-92-3 CAPLUS

CN 2(1H)-Quinolinone, 4-[[[(2S)-2-(3-chlorophenyl)-2-hydroxyethyl]amino]-3-[6-[4-(2-hydroxyethyl)-1-piperazinyl]-4-methyl-1H-benzimidazol-2-yl]]- (9CI)  
(CA INDEX NAME)

Absolute stereochemistry.



L9 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN

AN 2004:182836 CAPLUS

DN 140:235711

TI Preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase

IN Barsanti, Paul A.; Bussiere, Dirksen; Harrison, Stephen D.; Heise, Carla C.; Jansen, Johanna M.; Jazan, Elisa; Machajewski, Timothy D.; McBride, Christopher; McCrea, William R.; Ng, Simon; Ni, Zhi-Jie; Pecchi, Sabina; Pfister, Keith; Ramurthy, Savithri; Renhowe, Paul A.; Shafer, Cynthia M.; Silver, Joel B.; Wagman, Allan; Weismann, Marion

PA Chiron Corporation, USA

SO PCT Int. Appl., 570 pp.

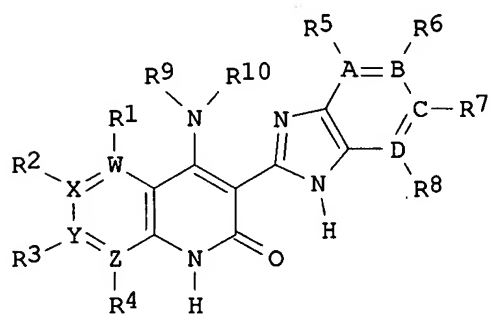
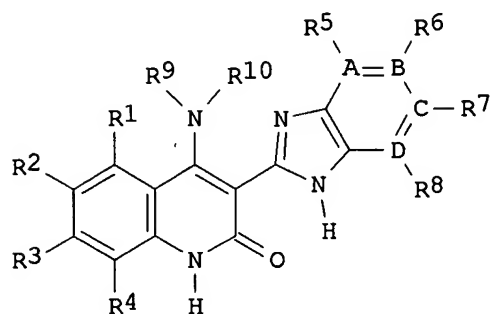
CODEN: PIXXD2

DT Patent

## 10/674098-subset search results

LA English  
FAN.CNT 7

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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	WO 2004018419	A3	20040603		
	WO 2004018419	B1	20040729		
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	US 2002-426107P	P	20021113		
	US 2002-426226P	P	20021113		
	US 2002-426282P	P	20021113		
	US 2002-428210P	P	20021121		
	US 2003-460327P	P	20030403		
	US 2003-460328P	P	20030403		
	US 2003-460493P	P	20030403		
	US 2003-478916P	P	20030616		
	US 2003-484048P	P	20030701		
	WO 2003-US25990	W	20030819		
OS	MARPAT 140:235711				
GI					



AB The title compds. [I and II; A, B, C, and D = C, N; W, X, Y and Z = C, N and at least one of W, X, Y, and Z = N; R1-R8 = H, halo, CN, NO2, etc.; R9 = H, (un)substituted alkyl, aryl, etc.; R10 = H; or NR9R10 = 5-7 membered ring], useful for inhibiting various enzymes and treating various conditions, were prepared E.g., a multi-step synthesis of 4-amino-3-(benzimidazol-2-yl)-6-(4-methylpiperazinyl)hydroquinolin-2-one, was given. The majority of the exemplary compds. I displayed an IC50 of less than 10  $\mu$ M with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, Cdk4, MEK1, NEK-2, CHK2, CK1 $\epsilon$ , Raf, Fyn, Lck, Rsk2, PAR-1, c-Kit, c-ABL, p60src, FGFR3, FLT-3, PDGFR $\alpha$ , and PDGFR $\beta$ . In addition, many of the exemplary compds. exhibited IC50 values in the nM range and show potent activity with respect to VEGFR1, VEGFR2, VEGFR3, FGFR1, FGFR3, c-Kit, c-ABL, FLT-3, CHK1, Cdc2, GSK-3, NEK-2, Cdk2, MEK1, CHK2, Fyn, Lck, Rsk2, PAR-1, PDGFR $\alpha$ , and PDGFR $\beta$  with IC50 values of less than 1  $\mu$ M.

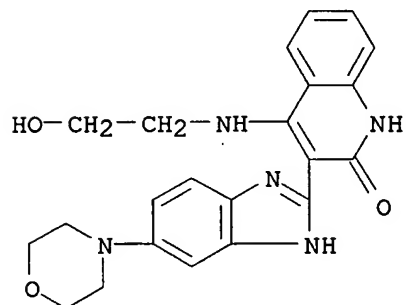
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 668429-13-8P 668429-15-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

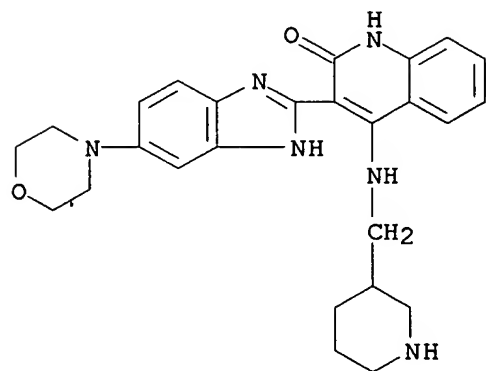
(preparation of benzimidazole quinolinones for inhibiting a serine/threonine

10/674098-subset search results

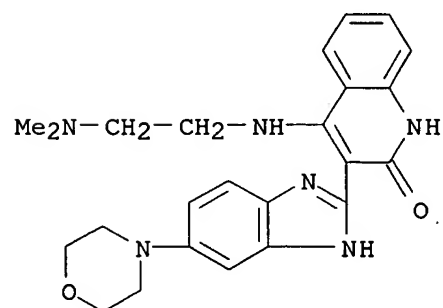
kinase)  
 RN 405168-26-5 CAPLUS  
 CN 2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 405168-29-8 CAPLUS  
 CN 2(1H)-Quinolinone, 3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 405168-30-1 CAPLUS  
 CN 2(1H)-Quinolinone, 4-[[2-(dimethylamino)ethyl]amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

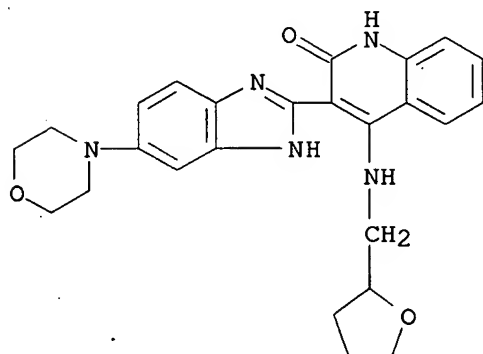


RN 405168-31-2 CAPLUS



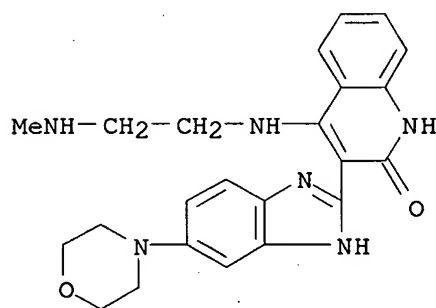
10/674098-subset search results

RN 2(1H)-Quinolinone, 3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-  
CN [[(tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)



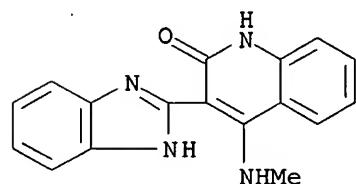
RN 405168-32-3 CAPLUS

CN 2(1H)-Quinolinone, 4-[[2-(methylamino)ethyl]amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



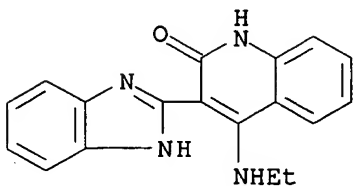
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CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(methylamino)- (9CI) (CA INDEX NAME)



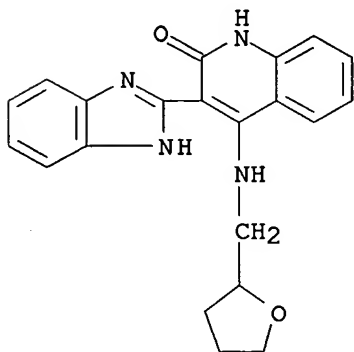
RN 405168-39-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(ethylamino)- (9CI) (CA INDEX NAME)



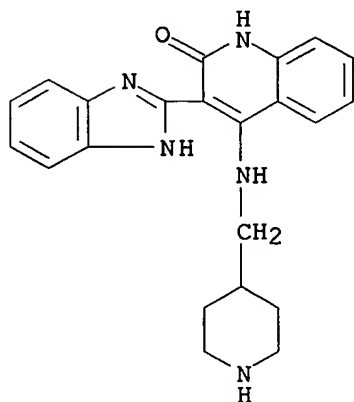
RN 405168-40-3 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)



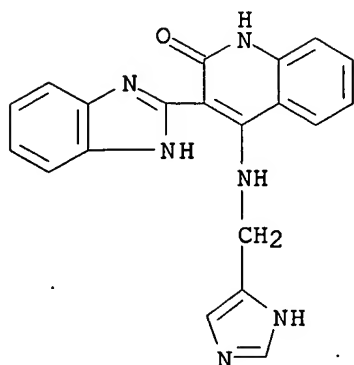
RN 405168-41-4 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(4-piperidinyl)methyl]amino]- (9CI) (CA INDEX NAME)



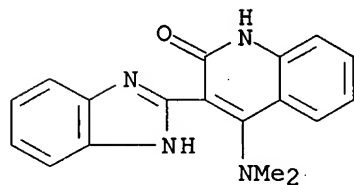
RN 405168-47-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(1H-imidazol-4-yl)methyl]amino]- (9CI) (CA INDEX NAME)



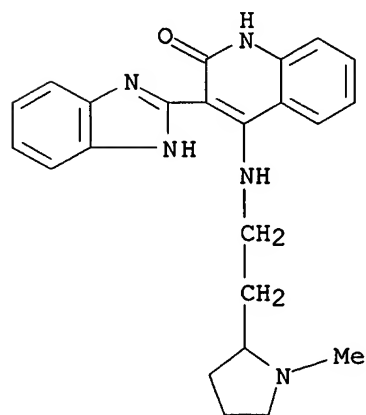
RN 405170-04-9 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(dimethylamino)- (9CI) (CA INDEX NAME)



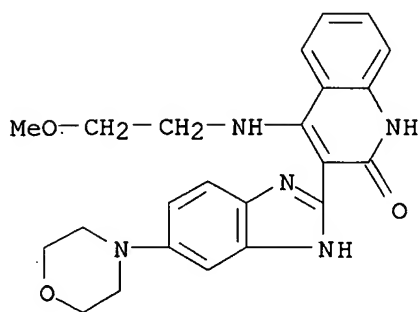
RN 405170-84-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]- (9CI) (CA INDEX NAME)



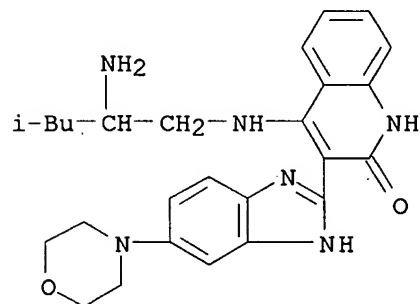
RN 405170-85-6 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-methoxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



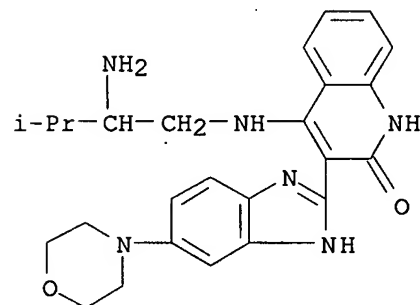
RN 405170-86-7 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-amino-4-methylpentyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



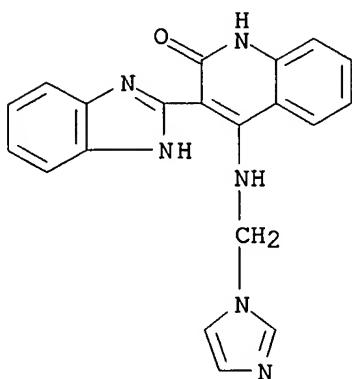
RN 405170-87-8 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-amino-3-methylbutyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



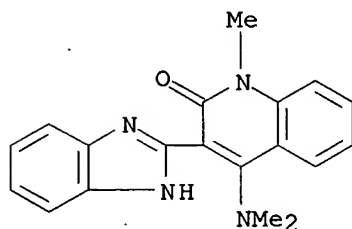
RN 668422-85-3 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(1H-imidazol-1-yl)methyl]amino]- (9CI) (CA INDEX NAME)



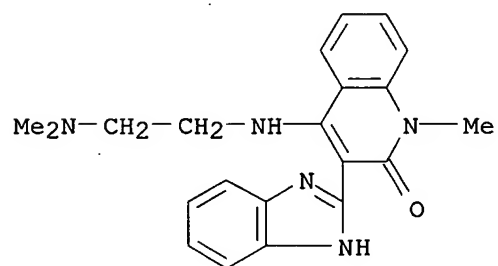
RN 668423-39-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(dimethylamino)-1-methyl-  
(9CI) (CA INDEX NAME)



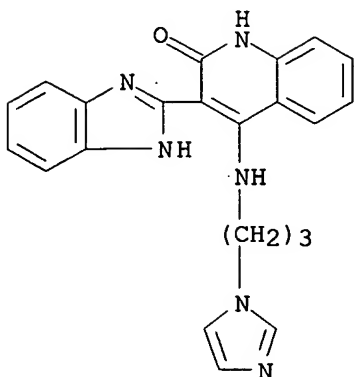
RN 668423-40-3 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[2-(  
(dimethylamino)ethyl]amino)-1-methyl- (9CI) (CA INDEX NAME)



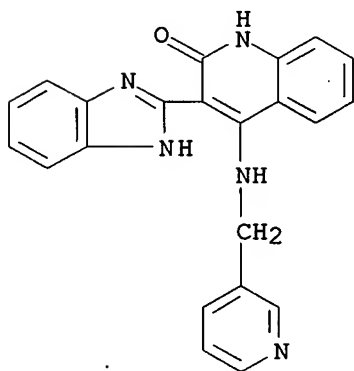
RN 668423-43-6 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[3-(1H-imidazol-1-  
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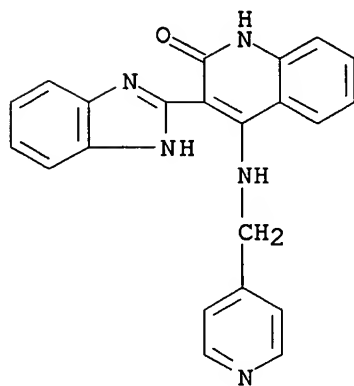
RN 668423-44-7 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(3-pyridinylmethyl)amino]-  
(9CI) (CA INDEX NAME)



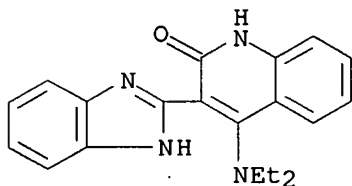
RN 668423-47-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(4-pyridinylmethyl)amino]-  
(9CI) (CA INDEX NAME)



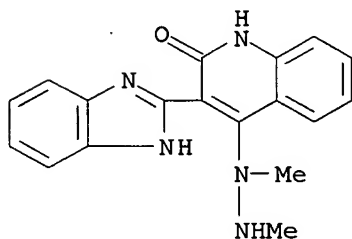
RN 668423-51-6 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(diethylamino)- (9CI) (CA INDEX NAME)



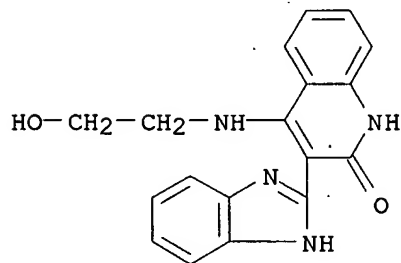
RN 668423-52-7 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(1,2-dimethylhydrazino)- (9CI) (CA INDEX NAME)



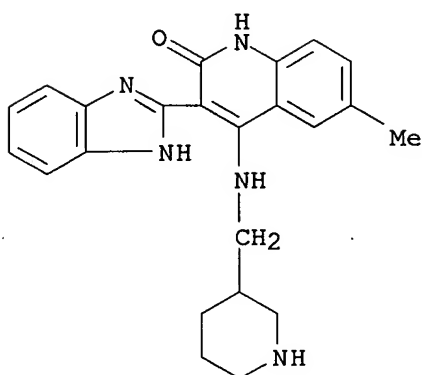
RN 668423-81-2 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[(2-hydroxyethyl)amino]- (9CI) (CA INDEX NAME)



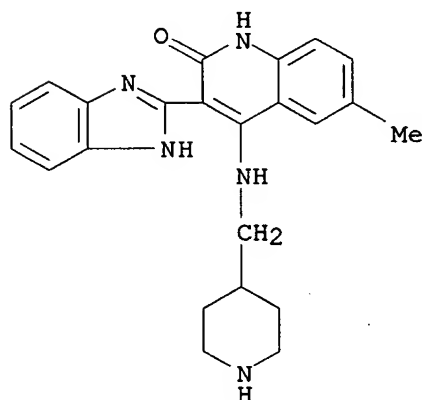
RN 668424-44-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



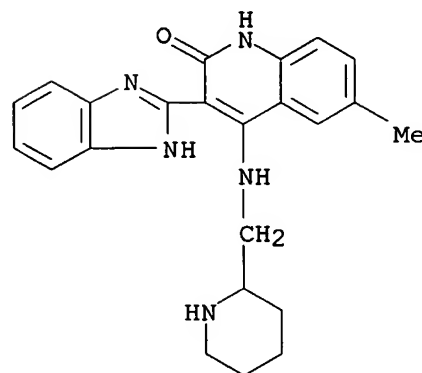
RN 668424-49-5 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(4-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 668424-52-0 CAPLUS

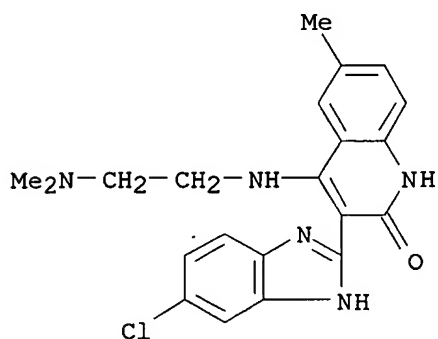
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-6-methyl-4-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 668429-04-7 CAPLUS

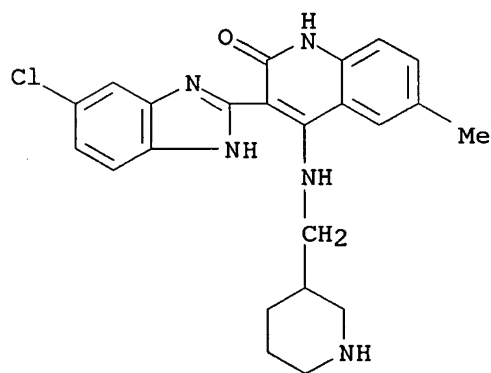


CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-4-[[2-(dimethylamino)ethyl]amino]-6-methyl- (9CI) (CA INDEX NAME)



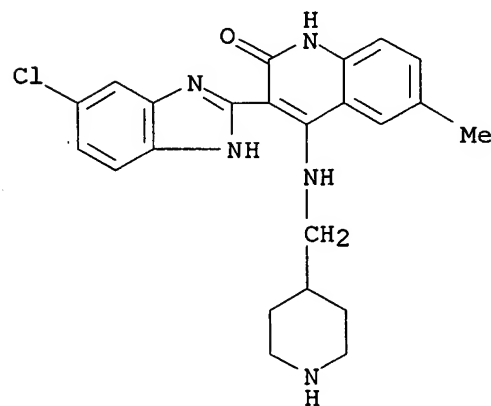
RN 668429-07-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



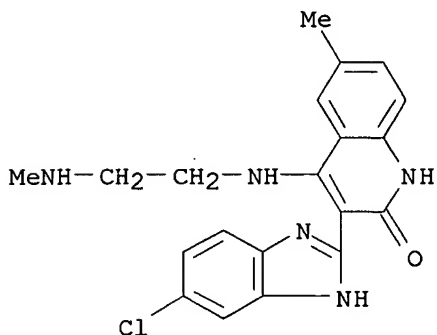
RN 668429-10-5 CAPLUS

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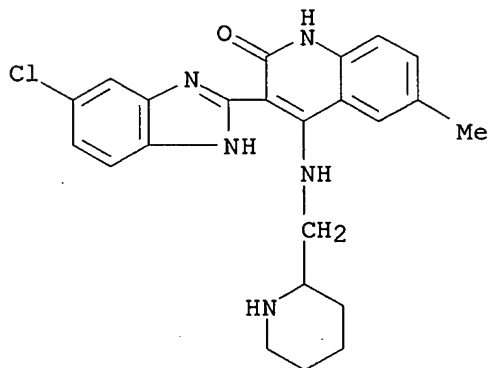
RN 668429-13-8 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[[2-(methylamino)ethyl]amino]- (9CI) (CA INDEX NAME)



RN 668429-15-0 CAPLUS

CN 2(1H)-Quinolinone, 3-(5-chloro-1H-benzimidazol-2-yl)-6-methyl-4-[(2-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)



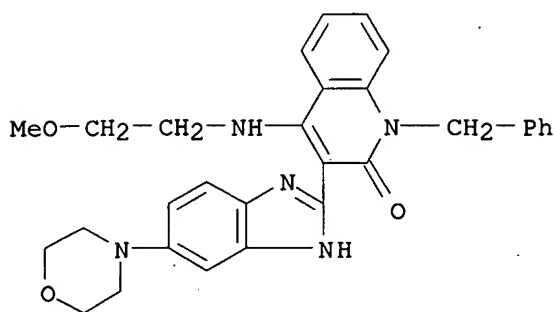
IT 405171-07-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazole quinolinones for inhibiting a serine/threonine kinase)

RN 405171-07-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-methoxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2003:98039 CAPLUS  
 DN 138:153534  
 TI Preparation of benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents  
 IN Renhowe, Paul A.; Pecchi, Sabina; Machajewski, Timothy D.; Shafer, Cynthia M.; Taylor, Clarke; McCrea, William R.; McBride, Christopher; Jazan, Elisa  
 PA Chiron Corporation, USA  
 SO U.S. Pat. Appl. Publ., 69 pp., Cont.-in-part of U.S. Pat. Appl. 2002 107,392.  
 CODEN: USXXCO  
 DT Patent  
 LA English  
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2003028018	A1	20030206	US 2002-116117	20020405
	US 2002107392	A1	20020808	US 2001-951265	20010911
	US 6605617	B2	20030812		
	EP 1650203	A1	20060426	EP 2005-17665	20010911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	US 2003158224	A1	20030821	US 2002-284017	20021030
	US 6774237	B2	20040810		
	US 2004006101	A1	20040108	US 2003-387355	20030312
	US 6762194	B2	20040713		
	CA 2481055	AA	20031023	CA 2003-2481055	20030404
	WO 2003087095	A1	20031023	WO 2003-US10463	20030404
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	AU 2003226275	A1	20031027	AU 2003-226275	20030404
	EP 1497287	A1	20050119	EP 2003-746614	20030404
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## 10/674098-subset search results

BR 2003008996	A	20050222	BR 2003-8996	20030404
CN 1659165	A	20050824	CN 2003-812909	20030404
JP 2005527587	T2	20050915	JP 2003-584051	20030404
US 2004097545	A1	20040520	US 2003-613411	20030703
US 6800760	B2	20041005		
US 2005054672	A1	20050310	US 2004-886950	20040708
NO 2004004776	A	20041207	NO 2004-4776	20041103
US 2005209456	A1	20050922	US 2005-92137	20050329
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US 2001-951265	A2	20010911		
EP 2001-973722	A3	20010911		
US 2002-116117	A	20020405		
US 2002-284017	A1	20021030		
WO 2003-US10463	W	20030404		
US 2004-886950	A1	20040708		
OS MARPAT 138:153534				
GI				

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO<sub>2</sub>H, certain NH<sub>2</sub> derivs.; R<sub>1</sub>-R<sub>4</sub> = H, halo, cyano, NO<sub>2</sub>, OH or derivs., NH<sub>2</sub> or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO<sub>2</sub>H and esters and amides; R<sub>5</sub>-R<sub>8</sub> = H, halo, NO<sub>2</sub>, OH or derivs., NH<sub>2</sub> or derivs., SH or derivs., cyano, etc.; R<sub>9</sub> = H, OH, (un)substituted alkoxy or aryloxy, NH<sub>2</sub> or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH<sub>2</sub> or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R<sub>1</sub>-R<sub>8</sub> = H, halo, NO<sub>2</sub>, cyano, OH or derivs., NH<sub>2</sub> or derivs., acyl, SH or derivs., etc.; R<sub>9</sub> = H, OH, (un)substituted alkoxy, aryloxy, NH<sub>2</sub> or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed preps. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (preps. given), carried out in refluxing ClCH<sub>2</sub>CH<sub>2</sub>Cl in the presence of SnCl<sub>4</sub>, gave the invention quinolinone III. Many compds. I and II had in vitro IC<sub>50</sub> values of less than 10 μM with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

IT 405168-26-5P 405168-29-8P 405168-30-1P  
405168-31-2P 405168-32-3P 405168-34-5P,  
4-[(2S)-2-Amino-4-methylpentyl]amino]-3-[5-(morpholin-4-yl)benzimidazol-2-

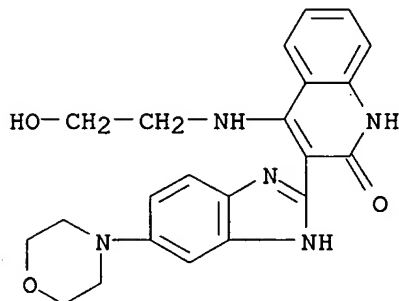
yl]-1H-quinolin-2-one 405168-35-6P, 4-[(2S)-2-Amino-3-methylbutyl]amino]-3-[5-(morpholin-4-yl)benzimidazol-2-yl]-1H-quinolin-2-one 405168-38-9P 405168-39-0P 405168-40-3P 405168-41-4P 405168-47-0P 405170-04-9P, 3-(1H-Benzimidazol-2-yl)-4-(dimethylamino)quinolin-2(1H)-one 405170-84-5P, 3-(1H-Benzimidazol-2-yl)-4-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-2(1H)-quinolinone 405170-85-6P 405170-86-7P, 4-[(2-Amino-4-methylpentyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone 405170-87-8P, 4-[(2-Amino-3-methylbutyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

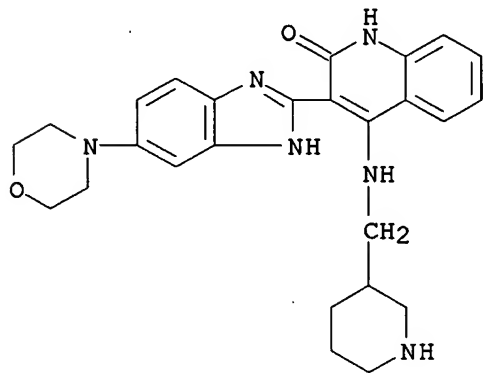
RN 405168-26-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-hydroxyethyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



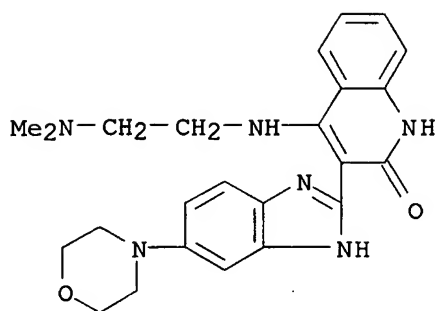
RN 405168-29-8 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[(3-piperidinylmethyl)amino]- (9CI) (CA INDEX NAME)

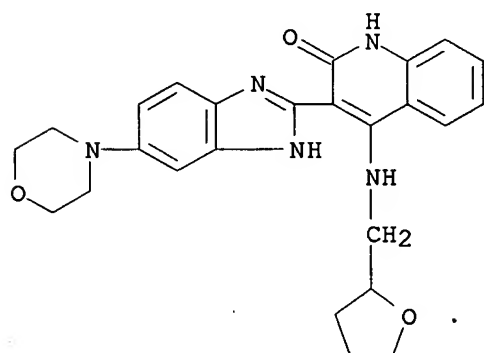


RN 405168-30-1 CAPLUS

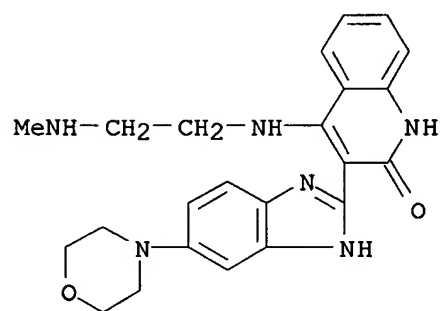
CN 2(1H)-Quinolinone, 4-[[2-(dimethylamino)ethyl]amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 405168-31-2 CAPLUS  
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 [[2-(dimethylamino)ethyl]amino]- (9CI) (CA INDEX NAME)

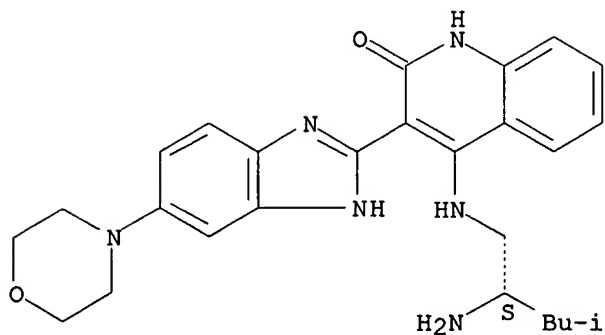


RN 405168-32-3 CAPLUS  
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 benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



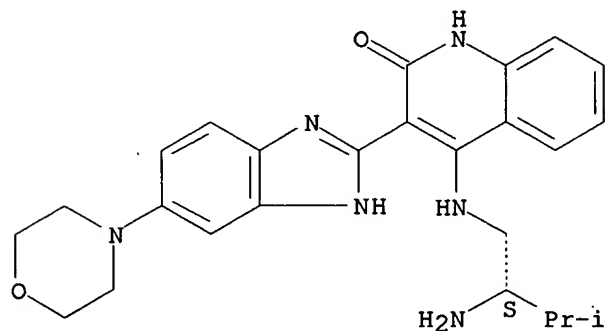
RN 405168-34-5 CAPLUS  
 CN 2(1H)-Quinolinone, 4-[[2-(2S)-2-amino-4-methylpentyl]amino]-3-[5-(4-  
 morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

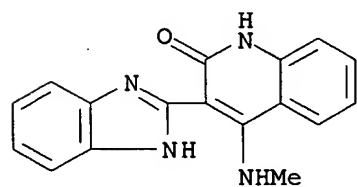


RN 405168-35-6 CAPLUS  
CN 2(1H)-Quinolinone, 4-[[ (2S)-2-amino-3-methylbutyl]amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)

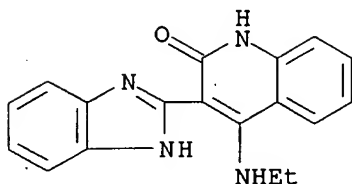
Absolute stereochemistry.



RN 405168-38-9 CAPLUS  
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(methylamino)- (9CI) (CA INDEX NAME)

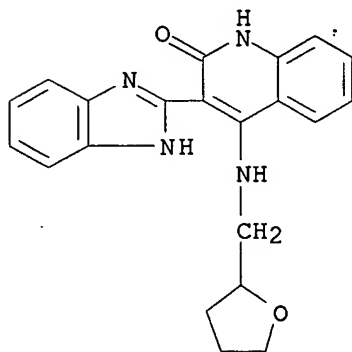


RN 405168-39-0 CAPLUS  
CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-(ethylamino)- (9CI) (CA INDEX NAME)



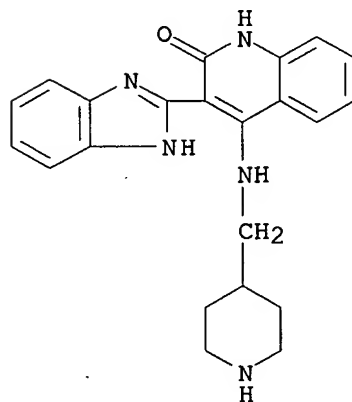
RN 405168-40-3 CAPLUS

CN 2(1H)-Quinolinone, 3-(1H-benzimidazol-2-yl)-4-[[ (tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 405168-41-4 CAPLUS

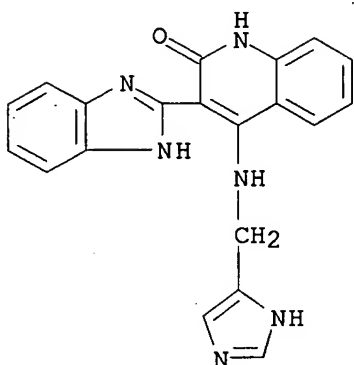
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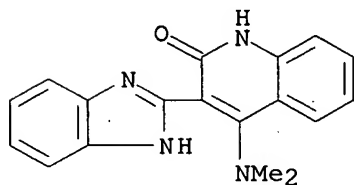
RN 405168-47-0 CAPLUS

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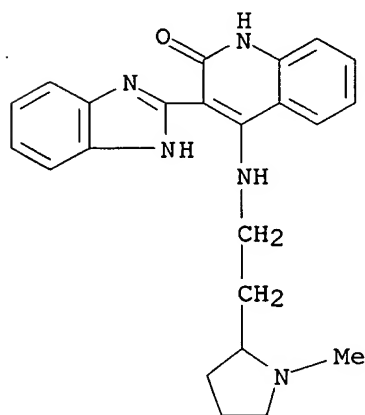




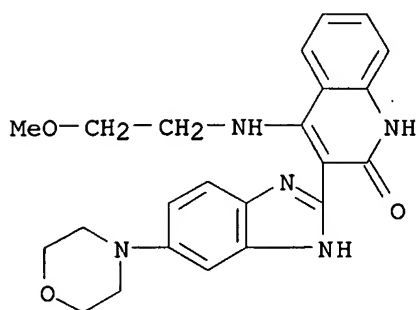
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RN 405170-84-5 CAPLUS  
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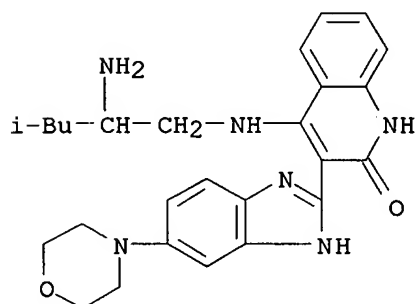


RN 405170-85-6 CAPLUS  
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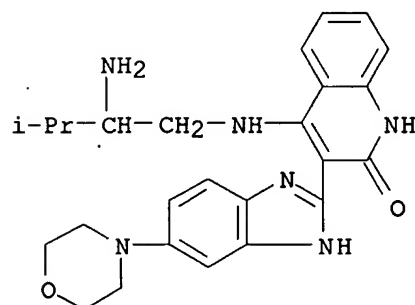
RN 405170-86-7 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-amino-4-methylpentyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 405170-87-8 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-amino-3-methylbutyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



IT 405171-07-5P, 4-[(2-Methoxyethyl)amino]-3-[5-(morpholin-4-yl)benzimidazol-2-yl]-1-benzyl-1H-quinolin-2-one

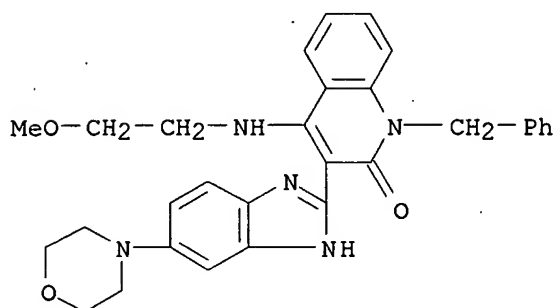
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405171-07-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-methoxyethyl)amino]-3-[5-(4-morpholinyl)-1H-

benzimidazol-2-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



L9 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2006 ACS on STN  
 AN 2002:220574 CAPLUS  
 DN 136:263158  
 TI Benzimidazolyl-substituted quinolinone derivatives and analogs, with inhibitory action against vascular endothelial growth factor receptor tyrosine kinase, and useful as anticancer agents  
 IN Renhowe, Paul; Pecchi, Sabina; Machajewski, Tim; Shafer, Cynthia; Taylor, Clarke; McCrea, Bill; McBride, Chris; Jazan, Elisa; Wernette-Hammond, Mary-Ellen; Harris, Alex  
 PA Chiron Corporation, USA  
 SO PCT Int. Appl., 207 pp.  
 CODEN: PIXXD2  
 DT Patent  
 LA English  
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002022598	A1	20020321	WO 2001-US42131	20010911
WO 2002022598	C1	20021121		
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\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Title compds. of formulas I and II are provided [for I: Z = O, S, (un)substituted NH; Y = certain OH derivs., CHO, esters and amides of CO<sub>2</sub>H, certain NH<sub>2</sub> derivs.; R<sub>1</sub>-R<sub>4</sub> = H, halo, cyano, NO<sub>2</sub>, OH or derivs., NH<sub>2</sub> or derivs., (un)substituted amidinyl, guanidinyl, alk(en/yn)yl, aryl, heterocyclyl, CHO, CO<sub>2</sub>H and esters and amides; R<sub>5</sub>-R<sub>8</sub> = H, halo, NO<sub>2</sub>, OH or derivs., NH<sub>2</sub> or derivs., SH or derivs., cyano, etc.; R<sub>9</sub> = H, OH, (un)substituted alkoxy or aryloxy, NH<sub>2</sub> or derivs., (un)substituted alkyl or aryl, CHO, alkanoyl, aroyl; for II: A, B, D, E = C or N, with at least one being N; Y = H, OH or derivs., SH or derivs., NH<sub>2</sub> or derivs., cyano, various acyl groups, (un)substituted alk(en/yn)yl, aralkyl, heterocycloalkyl, aryl, etc.; R<sub>1</sub>-R<sub>8</sub> = H, halo, NO<sub>2</sub>, cyano, OH or derivs., NH<sub>2</sub> or derivs., acyl, SH or derivs., etc.; R<sub>9</sub> = H, OH, (un)substituted alkoxy, aryloxy, NH<sub>2</sub> or derivs., aryl, CHO, alkanoyl, aroyl]. Also provided are pharmaceutical formulations including the compds. or their pharmaceutically acceptable salts and a pharmaceutically acceptable carrier, which may be prepared by mixing the compds. or salts with a carrier and water. A disclosed method of treating a patient includes administering a pharmaceutical formulation according to the invention to a patient. Claims include tautomers of the compds., pharmaceutically acceptable salts, and pharmaceutically acceptable salts of the tautomers. I and II are inhibitors of receptor tyrosine kinases, and particularly of vascular endothelial growth factor receptor (VEGFR) tyrosine kinase. As such, they are inhibitors of angiogenesis, and thereby act as anticancer agents. Approx 270 invention compds. are listed, with detailed preps. given for about 50 compds. Several general preparatory methods are discussed in detail. For instance, cyclocondensation of Et 2-(benzimidazol-2-yl)acetate with the corresponding ortho-amino nitrile (preps. given), carried out in refluxing ClCH<sub>2</sub>CH<sub>2</sub>Cl in the presence of SnCl<sub>4</sub>, gave the invention quinolinone III. Many compds. I and II had in vitro IC<sub>50</sub> values of less than 10  $\mu$ M with respect to flt-1 (VEGFR1), KDR (VEGFR2) and bFGF kinases (recombinant, expressed in Sf9 insect cells).

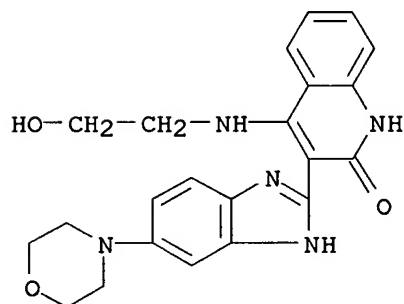
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 405168-41-4P 405168-47-0P 405170-04-9P,  
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 405170-86-7P, 4-[(2-Amino-4-methylpentylamino)-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone 405170-87-8P  
 , 4-[(2-Amino-3-methylbutylamino)-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-2(1H)-quinolinone  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

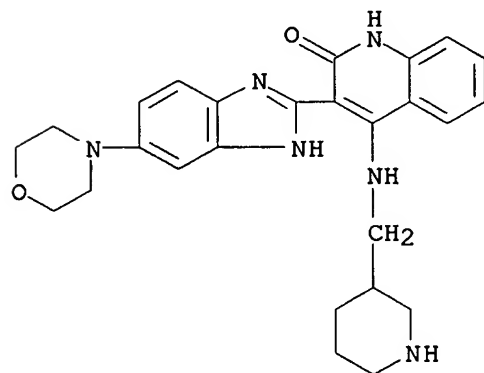
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RN 405168-29-8 CAPLUS

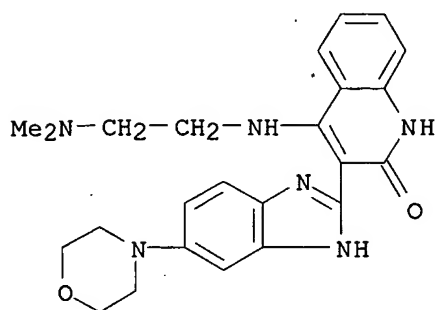
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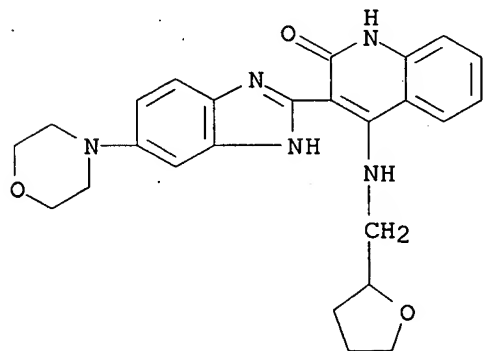
10/674098-subset search results

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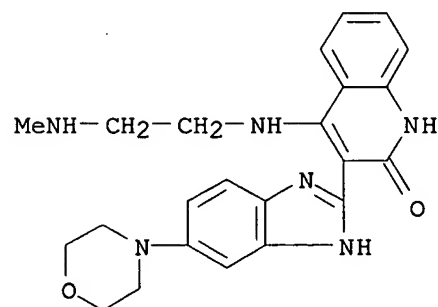
RN 405168-31-2 CAPLUS

CN 2(1H)-Quinolinone, 3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]-4-[[2-(tetrahydro-2-furanyl)methyl]amino]- (9CI) (CA INDEX NAME)



RN 405168-32-3 CAPLUS

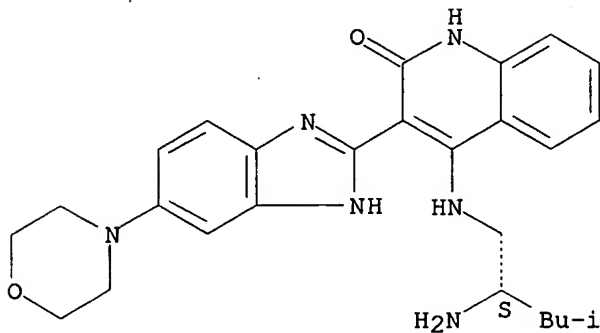
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RN 405168-34-5 CAPLUS

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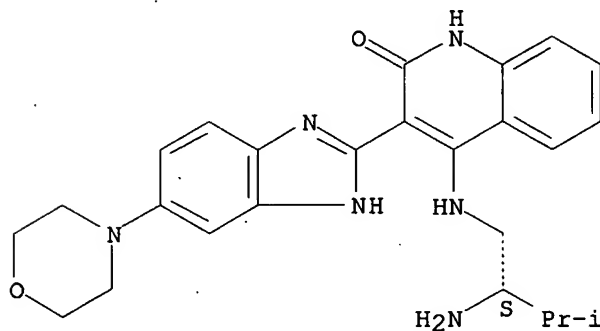
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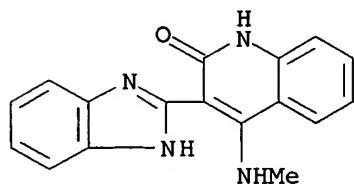
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Absolute stereochemistry.



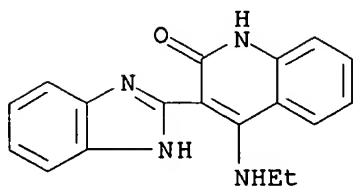
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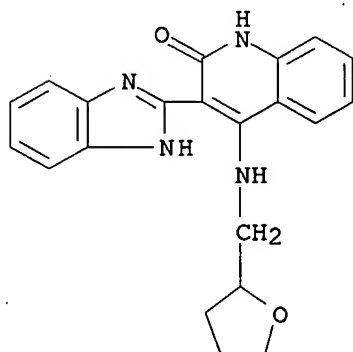
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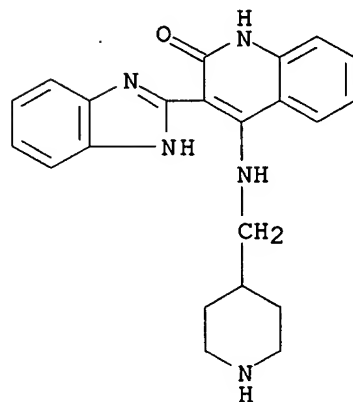
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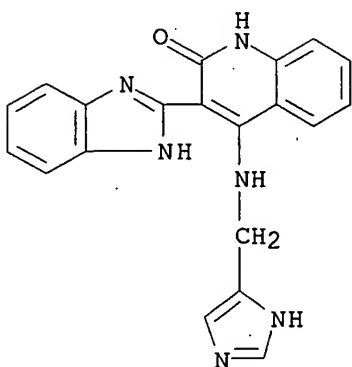
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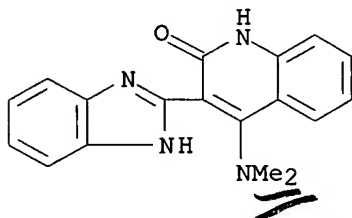
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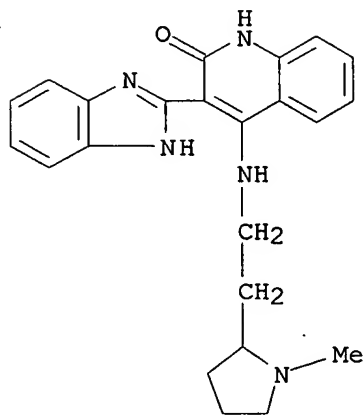
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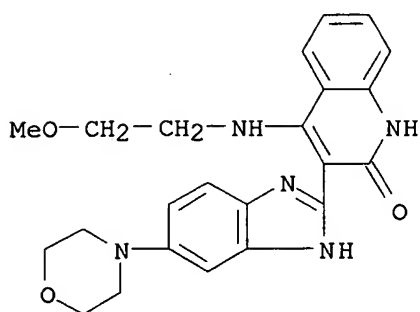
RN 405170-84-5 CAPLUS

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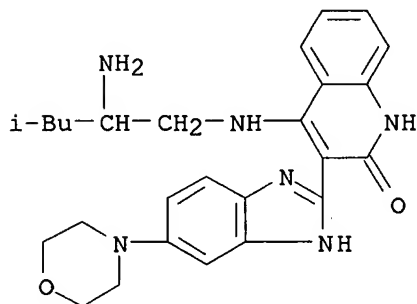
RN 405170-85-6 CAPLUS

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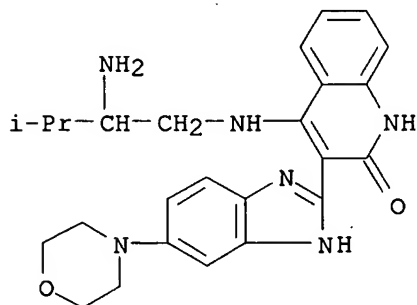
RN 405170-86-7 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-amino-4-methylpentyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



RN 405170-87-8 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-amino-3-methylbutyl)amino]-3-[5-(4-morpholinyl)-1H-benzimidazol-2-yl]- (9CI) (CA INDEX NAME)



IT 405171-07-5P, 4-[(2-Methoxyethyl)amino]-3-[5-(morpholin-4-yl)benzimidazol-2-yl]-1-benzyl-1H-quinolin-2-one

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

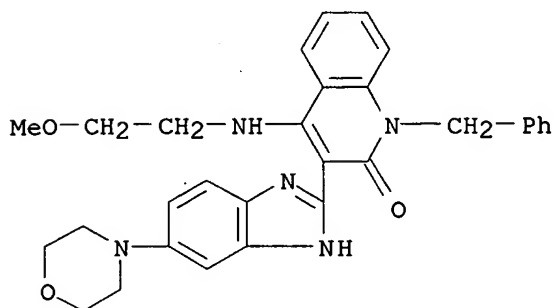
(intermediate; preparation of benzimidazolyl-substituted quinolinone derivs. and analogs as VEGFR tyrosine kinase-inhibiting anticancer agents)

RN 405171-07-5 CAPLUS

CN 2(1H)-Quinolinone, 4-[(2-methoxyethyl)amino]-3-[5-(4-morpholinyl)-1H-

10/674098-subset search results

benzimidazol-2-yl]-1-(phenylmethyl)- (9CI) (CA INDEX NAME)



RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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